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Inventor Name Search Result

Your Search was:

Last Name = BISCHOFF
First Name = ERWIN

Application#	Patent#	Status	Date Filed	Title	Inventor Name
10365740	Not Issued	020	02/12/2003	2-PHENYL SUBSTITUTED IMIDAZOTRIAZINONES AS PHOSPHODIESTERASE INHIBITORS	BISCHOI ERWIN
10251939	Not Issued	041	09/20/2002	7-ALKYL-AND CYCLOALKYL-SUBSTITUTED IMIDAZOTRIAZINONES	BISCHOI ERWIN
10220560	Not Issued	020	02/06/2003	NOVEL IMIDAZOTRIAZINONES AND THE USE THEREOF	BISCHOI ERWIN
10168194	Not Issued	030	11/04/2002	NOVEL IMIDAZO[1,3,5]TRIAZINONES AND THE USE THEREOF	BISCHOI ERWIN
10149921	Not Issued	041	10/21/2002	TRIAZOLOTRIAZINONES AND THE USE THEREOF	BISCHOI ERWIN
10149659	Not Issued	030	10/22/2002	ISOXAZOLO PYRIMIDINONES AND THE USE THEREOF	BISCHOI ERWIN
10070963	Not Issued	030	06/28/2002	NOVEL COMBINATION FOR THE TREATMENT OF SEXUAL DYSFUNCTION	BISCHOI ERWIN
09980242	Not Issued	071	11/29/2001	SUBSTITUTED PHENYLCYCLOHEXANE CARBOXYLIC ACID AMIDES AND THEIR USE AS ADENOSINE UPTAKE INHIBITORS	BISCHOI ERWIN
09943530	6566360	150	08/30/2001	2-PHENYL SUBSTITUTED IMIDATRIAZINONES AS PHOSPHODIESTERASE INHIBITORS	BISCHOI ERWIN
09943325	Not Issued	092	08/30/2001	SUBSTITUTED PHENYLCYCLOHEXANECARBOXAMIDES AND THEIR USE	BISCHOI ERWIN
09763808	6458796	150	02/26/2001	DIHYDRO-[1,2,3]TRIAZOLO-[4,5-D] PYRIMIDIN-7-ONE	BISCHOI ERWIN
09720051	6476029	150	03/23/2001	7- ALKYL- AND CYCLOALKYL-SUBSTITUTED IMIDAZOTRIAZINONES	BISCHOI ERWIN
09554162	6362178	150	07/21/2000	2-PHENYL SUBSTITUTED	BISCHOI

				IMIDAZOTRIAZINONES AS PHOSPHODIESTERASE INHIBITORS	ERWIN
09367538	6174884	150	08/16/1999	1,5-DIHYDRO-PYRAZOLO[34-D]-PYRIMIDINONE DERIVATIVES	BISCHOI ERWIN
09267322	6291515	150	03/12/1999	USE OF EFOMYCINS	BISCHOI ERWIN
09207734	Not Issued	161	12/08/1998	9-SUBSTITUTED 2-(2-N-ALKOXYPHENYL)-PURIN-6-ONES	BISCHOI ERWIN
09164831	Not Issued	161	10/01/1998	2,9-DISUBSTITUTED PURIN-6-ONES	BISCHOI ERWIN
09164011	Not Issued	161	09/30/1998	PURIN-6-ONE DERIVATIVES	BISCHOI ERWIN
08739742	5861396	150	10/30/1996	PURIN-6-ONE DERIVATIVES	BISCHOI ERWIN
08728106	5821222	150	10/09/1996	CYCLIC DEPSIPEPTIDES HAVING 18 RING ATOMS FOR COMBATING ENDOPARASITES	BISCHOI ERWIN
08681073	Not Issued	164	07/22/1996	DEOXYCYCLITOL DERIVATIVES USEFUL FOR TREATING INFLAMMATION	BISCHOI ERWIN
08587321	5861404	250	01/12/1996	2,9-DISUBSTITUTED PURIN-6-ONES	BISCHOI ERWIN
08585996	5866571	150	01/16/1996	9-SUBSTITUTED 2-(2-N-ALKOXYPHENYL)-PURIN-6-ONES	BISCHOI ERWIN
08584865	5721238	250	01/11/1996	2,8-DISUBSTITUTED QUINAZOLINONES	BISCHOI ERWIN
08446802	Not Issued	161	06/01/1995	NOVEL ACYCLIC, SULPHUR-CONTAINING PEPTIDES	BISCHOI ERWIN
08397208	5565561	150	04/27/1995	NATURAL SUBSTANCE CYCLAMENOL AND CHEMICAL DERIVATIVES	BISCHOI ERWIN
08372090	5463087	150	01/13/1995	SUBSTITUTED DERIVATIVES OF DEOXYMYOINOSITOL, PROCESS FOR THEIR PREPARATION AND THEIR USE IN MEDICAMENTS	BISCHOI ERWIN
08353409	5624897	150	12/09/1994	NEW CYCLIC DEPSIPEPTIDES HAVING 18 RING ATOMS, AND THEIR USE FOR COMBATING ENDOPARASITES	BISCHOI ERWIN
08351931	Not Issued	166	12/12/1994	DEOXYCYCLITOL DERIVATIVES USEFUL FOR TREATMENT IMFLAMMATION	BISCHOI ERWIN
08343517	Not Issued	166	12/05/1994	CYCLIC DEPSIPEPTIDES HAVING 18 RING ATOMS FOR COMBATING ENDOPARASITES, NEW CYCLIC DEPSIPETIDES HAVING 18 RING	BISCHOI ERWIN

				ATOMS, AND PROCESSES FOR THEIR PREPARATION	
08270862	Not Issued	160	07/05/1994	?	BISCHOI ERWIN
08106156	5407923	150	08/12/1993	SUBSTITUTED DERIVATIVES OF DEOXYMYOINOSITOL, PROCESS FOR THEIR PREPARATION AND THEIR USE IN MEDICAMENTS	BISCHOI ERWIN
08105545	Not Issued	164	08/12/1993	DEOXYCYCLITOL DERIVATIVES AND THEIR USE IN MEDICAMENTS	BISCHOI ERWIN
08042857	5374647	150	04/05/1993	ANTITHROMBOTIC SUBSTITUTED CYCLOALKANO (B) DIHYDROINDOLE SULPHONAMIDES	BISCHOI ERWIN
07887208	5185348	150	05/21/1992	PHENYLSULPHONAMIDE SUBSTITUTED PYRIDINEALKENE-AND -AMINO- OXYALKANECARBOXYLIC ACID DERIVATIVES	BISCHOI ERWIN
07798386	5190971	150	11/26/1991	SUBSTITUTED DIBENZ-OXA-THIOCINONES, -12-OXIDES AND -12,12-DIOXIDES, A PROCESS FOR THEIR PREPARATION AND THEIR USE IN MEDICAMENTS	BISCHOI ERWIN
07786478	Not Issued	166	11/01/1991	ANTITHROMBOTIC SUBSTITUTED CYCLOALKANO (B)DIHYDROINDOLE-AND -INDOLE- SULPHONAMIDES	BISCHOI ERWIN
07763032	Not Issued	161	09/20/1991	CIRCULATION-ACTIVE DIBENZO[1,5] DIOXOCIN-5-ONES	BISCHOI ERWIN
07749018	5223517	150	08/23/1991	HETEROCYCLICALLY SUBSTITUTED CYCLOALKANO/B/-INDOLESULPHONAMIDES	BISCHOI ERWIN
07739747	5155121	150	08/02/1991	PHENYLSULPHONAMIDE SUBSTITUTED PYRIDINEALKENE- AND -AMINOOXYALKANECARBOXYLIC ACID DERIVATIVES	BISCHOI ERWIN
07709902	5185326	150	06/03/1991	EFOMYCINS A, E AND G AS ANTIINFLAMMATORY AGENTS	BISCHOI ERWIN
07679710	5204374	150	04/03/1991	CYCLOALKANO(B)DIHYDROINDOLES AND -INDOLESULPHONAMIDES SUBSTITUTED BY HETEROCYCLES	BISCHOI ERWIN
07678563	5096897	150	03/28/1991	ANTITHROMBOTIC SUBSTITUTED CYCLOALKANO(B)DIHYDROINDOLE-AND -INDOLE- SULPHONAMIDES	BISCHOI ERWIN
07599321	5039670	150	10/17/1990	ANTITHROMBOTIC SUBSTITUTED CYCLOALKANO(B)DIHYDROINDOLE-AND -INDOLE- SULPHONAMIDES AND	BISCHOI ERWIN

				USE	
07528667	5089487	150	05/24/1990	CIRCULATION-ACTIVE DIBENZO(1,5) DIOXOCIN-5-ONES	BISCHOI ERWIN
07089390	4770876	150	08/25/1987	MICROBIOLOGICAL PRODUCTION OF LIVESTOCK GROWTH-PROMOTING AGENT	BISCHOI ERWIN
07022915	4927810	150	03/06/1987	EFOMYCIN G AND IT'S USE AS YIELD PROMOTER IN ANIMALS	BISCHOI ERWIN
06840638	5073369	150	03/17/1986	EFOMYCINS AS PERFORMANCE PROMOTERS IN ANIMALS	BISCHOI ERWIN
06802776	4670260	250	11/27/1985	ANTIBIOTIC FOR ANIMAL FEEDS	BISCHOI ERWIN
06435840	Not Issued	164	10/22/1982	FORMYCIN A AND/OR B AS , ARTHROPODICAL AGENTS	BISCHOI ERWIN

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Inventor Name Search Result

Your Search was:

Last Name = LENSKY
First Name = STEPHAN

Application#	Patent#	Status	Date Filed	Title	Inventor Name
09980243	Not Issued	041	11/29/2001	SUBSTITUTED PHENYLCYCLOHEXANE CARBOXYLIC ACID AMIDES THAT HAVE AN ADENOSINE UPTAKE INHIBITING EFFECT	LENSKY, STEPHAN
09980242	Not Issued	071	11/29/2001	SUBSTITUTED PHENYLCYCLOHEXANE CARBOXYLIC ACID AMIDES AND THEIR USE AS ADENOSINE UPTAKE INHIBITORS	LENSKY, STEPHAN
09720024	6344471	150	02/27/2001	2-AMINOCARBONYL-5(2H)-ISOXAZOLONES AS LIGANDS OF A DFP-BINDING SITE TREATMENT OF CNS-DISEASES	LENSKY, STEPHAN
09171394	Not Issued	161	10/16/1998	USE OF PHOSPHONIC ACID ESTERS FOR THE TREATMENT OF FUNCTIONAL DISORDERS OF THE BRAIN AND DEPRESSION	LENSKY , STEPHAN

Inventor Search Completed: No Records to Display.

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Inventor Name Search Result

Your Search was:

Last Name = MULLER
First Name = STEPHAN-NICHOLAS

Application#	Patent#	Status	Date Filed	Title	Inventor Name
09980242	Not Issued	071	11/29/2001	SUBSTITUTED PHENYLCYCLOHEXANE CARBOXYLIC ACID AMIDES AND THEIR USE AS ADENOSINE UPTAKE INHIBITORS	MULLER STEPHAN NICHOLA
09943325	Not Issued	092	08/30/2001	SUBSTITUTED PHENYLCYCLOHEXANECARBOXAMIDES AND THEIR USE	MULLER STEPHAN NICHOLA

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Inventor Name Search Result

Your Search was:

Last Name = PAULSEN
First Name = HOLGER

Application#	Patent#	Status	Date Filed	Title	Inventor Name
60126434	Not Issued	159	12/22/1997	INHIBITION OF P38 KINASE ACTIVITY USING SUBSTITUTED HETEROCYCLIC AREAS	PAULSE HOLGER
09980243	Not Issued	041	11/29/2001	SUBSTITUTED PHENYLCYCLOHEXANE CARBOXYLIC ACID AMIDES THAT HAVE AN ADENOSINE UPTAKE INHIBITING EFFECT	PAULSE HOLGER
09980242	Not Issued	071	11/29/2001	SUBSTITUTED PHENYLCYCLOHEXANE CARBOXYLIC ACID AMIDES AND THEIR USE AS ADENOSINE UPTAKE INHIBITORS	PAULSE HOLGER
09947761	Not Issued	071	09/07/2001	INHIBITION OF P38 KINASE ACTIVITY BY ARYL UREAS	PAULSE HOLGER
09943325	Not Issued	092	08/30/2001	SUBSTITUTED PHENYLCYCLOHEXANECARBOXAMIDES AND THEIR USE	PAULSE HOLGER
09640780	Not Issued	120	08/18/2000	INHIBITION OF RAF KINASE USING SUBSTITUTED HETEROCYCLIC UREAS	PAULSE HOLGER
09521648	6207671	150	03/08/2000	CYCLOALKANO-PYRIDINES	PAULSE HOLGER
09508958	6586613	150	03/17/2000	SUBSTITUTED TETRAHYDRONAPHTHALINE AND ANALOGOUS COMPOUNDS	PAULSE HOLGER
09458014	Not Issued	093	12/10/1999	INHIBITION OF P38 KINASE ACTIVITY USING SUBSTITUTED HETEROCYCLIC UREAS	PAULSE HOLGER
09285521	Not Issued	160	12/22/1998	INHIBITION OF P38 KINASE ACTIVITY USING SUBSTITUTED HETEROCYCLIC UREAS	PAULSE HOLGER
09083396	6344476	150	05/22/1998	INHIBITION OF P38 KINASE ACTIVITY BY ARYL UREAS	PAULSE HOLGER
08995750	Not	157	12/22/1997	INHIBITION OF P38 KINASE ACTIVITY	PAULSE

	Issued			USING SUBSTITUTED HETEROCYCLIC AREAS	HOLGER
08889530	6069148	150	07/08/1997	CYCLOALKANO-PYRIDINES	PAULSE HOLGER

Inventor Search Completed: No Records to Display.

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Inventor Name Search Result

Your Search was:

Last Name = KELDENICH
First Name = JORG

Application#	Patent#	Status	Date Filed	Title	Inventor Name
60172225	Not Issued	159	12/16/1998	BIPHENYL COMPOUNDS AS INTEGRIN ANTAGONISTS	KELDENICH , JORG
10365740	Not Issued	020	02/12/2003	2-PHENYL SUBSTITUTED IMIDAZOTRIAZINONES AS PHOSPHODIESTERASE INHIBITORS	KELDENICH, JORG
10285073	Not Issued	020	10/31/2002	NEW BIPHENYL AND BIPHENYL-ANALOGOUS COMPOUNDS AS INTEGRIN ANTAGONISTS	KELDENICH, JORG
10225823	Not Issued	041	08/21/2002	NOVEL ARYLSULPHONAMIDES AND ANALOGUES	KELDENICH, JORG
10221919	Not Issued	020	03/10/2003	MEDICAMENTS AGAINST VIRAL DISEASES	KELDENICH, JORG
10168197	Not Issued	020	11/12/2002	THIAZOLYL AMIDE DERIVATIVES	KELDENICH, JORG
09980243	Not Issued	041	11/29/2001	SUBSTITUTED PHENYLCYCLOHEXANE CARBOXYLIC ACID AMIDES THAT HAVE AN ADENOSINE UPTAKE INHIBITING EFFECT	KELDENICH, JORG
09980242	Not Issued	071	11/29/2001	SUBSTITUTED PHENYLCYCLOHEXANE CARBOXYLIC ACID AMIDES AND THEIR USE AS ADENOSINE UPTAKE INHIBITORS	KELDENICH, JORG
09943530	6566360	150	08/30/2001	2-PHENYL SUBSTITUTED IMIDATRIAZINONES AS PHOSPHODIESTERASE INHIBITORS	KELDENICH, JORG
09943106	Not	041	08/30/2001	UNCOMPETITIVE INHIBITORS	KELDENICH,

	Issued			OF HELICASE-PRIMASE	JORG
09918994	Not Issued	164	07/31/2001	INVERSE THIAZOLYLAMIDE DERIVATIVES	KELDENICH, JORG
09914554	6500817	150	08/31/2001	THIAZOLYL UREA DERIVATIVES AND THEIR UTILIZATION AS ANTIVIRAL AGENTS	KELDENICH, JORG
09889455	Not Issued	041	01/09/2002	BETA-PHENYLALANINE DERIVATIVES AS INTEGRIN ANTAGONISTS	KELDENICH, JORG
09878392	6573278	150	06/11/2001	ARYL SULFONAMIDES AND ANALOGUES THEREOF AND THEIR USE IN THE TREATMENT OF NEURODEGENERATIVE DISEASES	KELDENICH, JORG
09868305	Not Issued	071	08/20/2001	BIPHENYL AND BIPHENYL-ANALOGOUS COMPOUNDS AS INTEGRIN ANTAGONISTS	KELDENICH, JORG
09857981	6495545	150	06/12/2001	1,4-BENZODIAZEPINONE DERIVATIVES AND THEIR USE AS INTEGRIN ANTAGONISTS	KELDENICH, JORG
09828514	Not Issued	061	04/06/2001	BIPHENYL AND BIPHENYL-ANALOGOUS COMPOUNDS AS INTEGRIN ANTAGONISTS	KELDENICH, JORG
09763215	6469054	150	02/16/2001	NOVEL ARYL SULPHONAMIDES AND ANALOGUES	KELDENICH, JORG
09763196	6545050	150	02/16/2001	NOVEL ARYL SULPHONAMIDE AMINO ACID ESTERS AND ANALOGUES	KELDENICH, JORG
09719320	Not Issued	071	03/05/2001	USE OF SUBSTITUTED 4-BIARYLBUTYRIC AND 5-BIARYLPENTANOIC ACID DERIVATIVES FOR THE TREATMENT OF CEREBRAL DISEASES	KELDENICH, JORG
09554162	6362178	150	07/21/2000	2-PHENYL SUBSTITUTED IMIDAZOTRIAZINONES AS PHOSPHODIESTERASE INHIBITORS	KELDENICH, JORG
09464237	6420396	150	12/15/1999	2-MESITYLSULFONYLAMINO-3-{3'[(PYRIDINYLAMINO) METHYL][1,1-BIPHENYL]} PROPANOIC ACID AND METHOD OF TREATING	KELDENICH, JORG

09367538	6174884	150	08/16/1999	1,5-DIHYDRO-PYRAZOLO[34-D]-PYRIMIDINONE DERIVATIVES	KELDENICH , JORG
09367456	6262112	150	11/15/1999	ARYL SULFONAMIDES AND ANALOGUES THEREOF AND THEIR USE IN THE TREATMENT OF NEURODEGENERATIVE DISEASES	KELDENICH , JORG
09213381	Not Issued	157	12/16/1998	BIPHENYL COMPOUNDS AS INTEGRIN ANTAGONISTS	KELDENICH , JORG
09211274	6339083	150	12/14/1998	MULTIHETEROCYCLIC PHARMACEUTICALS	KELDENICH , JORG
07843655	5192448	150	02/28/1992	PROCESS FOR BREAKING OIL-IN-WATER EMULSIONS	KELDENICH , JORG

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Inventor Name Search Result

Your Search was:

Last Name = KRAHN
First Name = THOMAS

Application#	Patent#	Status	Date Filed	Title	Inventor Name
10302163	Not Issued	020	11/20/2002	METHOD AND DEVICE FOR TAKING MEASUREMENTS OF CELLS WHICH ARE CONTAINED IN A LIQUID ENVIRONMENT	KRAHN, THOMAS
10263607	Not Issued	030	10/03/2002	MASKING OF THE BACKGROUND FLUORESCENCE AND LUMINESCENCE IN THE OPTICAL ANALYSIS OF BIOMEDICAL ASSAYS	KRAHN, THOMAS
09980242	Not Issued	071	11/29/2001	SUBSTITUTED PHENYLCYCLOHEXANE CARBOXYLIC ACID AMIDES AND THEIR USE AS ADENOSINE UPTAKE INHIBITORS	KRAHN, THOMAS
09966522	Not Issued	030	09/28/2001	MASKING OF THE BACKGROUND FLUORESCENCE AND LUMINESCENCE IN THE OPTICAL ANALYSIS OF BIOMEDICAL ASSAYS	KRAHN, THOMAS
09966137	Not Issued	030	09/28/2001	MASKING BACKGROUND FLUORESCENCE AND LUMINESCENCE IN OPTICAL ANALYSIS OF BIOMEDICAL ASSAYS	KRAHN, THOMAS
09943325	Not Issued	092	08/30/2001	SUBSTITUTED PHENYLCYCLOHEXANECARBOXAMIDES AND THEIR USE	KRAHN, THOMAS
09913312	Not Issued	030	08/10/2001	METHOD FOR FRACTIONATING DOUBLE-STRANDED NUCLEIC ACIDS IN SOLUTIONS IN ORDER TO OBTAIN SINGLE-STRANDED NUCLEIC ACIDS	KRAHN, THOMAS
09906296	Not Issued	092	07/16/2001	SUBSTITUTED AMIDOALKYL-URACILS AND THEIR USE	KRAHN, THOMAS
09267322	6291515	150	03/12/1999	USE OF EFOMYCINS	KRAHN, THOMAS
09194099	6420183	150	11/20/1998	MASKING BACKGROUND	KRAHN,

				FLUORESCENCE AND LUMINESCENCE IN OPTICAL ANALYSIS OF BIOMEDICAL ASSAYS	THOMA

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Back to PALM | ASSIGNMENT | OASIS | Home page

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Inventor Name Search Result

Your Search was:

Last Name = SCHUHMACHER
First Name = JOACHIM

Application#	Patent#	Status	Date Filed	Title	Inventor
09980243	Not Issued	041	11/29/2001	SUBSTITUTED PHENYLCYCLOHEXANE CARBOXYLIC ACID AMIDES THAT HAVE AN ADENOSINE UPTAKE INHIBITING EFFECT	SCHUHM JOACHIM
09980242	Not Issued	071	11/29/2001	SUBSTITUTED PHENYLCYCLOHEXANE CARBOXYLIC ACID AMIDES AND THEIR USE AS ADENOSINE UPTAKE INHIBITORS	SCHUHM JOACHIM
09943325	Not Issued	092	08/30/2001	SUBSTITUTED PHENYLCYCLOHEXANECARBOXAMIDES AND THEIR USE	SCHUHM JOACHIM
09878392	6573278	150	06/11/2001	ARYL SULFONAMIDES AND ANALOGUES THEREOF AND THEIR USE IN THE TREATMENT OF NEURODEGENERATIVE DISEASES	SCHUHM JOACHIM
09867021	6525087	150	05/29/2001	USE OF KNOWN AGONISTS OF THE CENTRAL CANNABINOID RECEPTOR CB1	SCHUHM JOACHIM
09720024	6344471	150	02/27/2001	2-AMINOCARBONYL-5(2H)-ISOXAZOLONES AS LIGANDS OF A DFP-BINDING SITE TREATMENT OF CNS-DISEASES	SCHUHM JOACHIM
09719320	Not Issued	071	03/05/2001	USE OF SUBSTITUTED 4-BIARYLBUTYRIC AND 5-BIARYLPENTANOIC ACID DERIVATIVES FOR THE TREATMENT OF CEREBRAL DISEASES	SCHUHM JOACHIM
09521648	6207671	150	03/08/2000	CYCLOALKANO-PYRIDINES	SCHUHM JOACHIM
09367456	6262112	150	11/15/1999	ARYL SULFONAMIDES AND ANALOGUES THEREOF AND THEIR USE IN THE TREATMENT OF NEURODEGENERATIVE DISEASES	SCHUHM JOACHIM

09355289	Not Issued	161	09/16/1999	2-AMINO SUBSTITUTED PYRIDINES FOR USE IN THE TREATMENT OF ARTERIOSCLEROSIS AND HYPERLIPOPROTEINAEMIA	SCHUHM JOACHIM
09024590	6284788	150	02/17/1998	USE OF KNOWN AGONISTS OF THE CENTRAL CANNABINOID RECEPTOR CB1	SCHUHM JOACHIM
08889530	6069148	150	07/08/1997	CYCLOALKANO-PYRIDINES	SCHUHM JOACHIM
08883673	5932587	150	06/27/1997	HETEROCYCLIC-FUSED PYRIDINES	SCHUHM JOACHIM
08883067	6063788	150	06/27/1997	BICYCLIC-FUSED PYRIDINES	SCHUHM JOACHIM
08745591	5739127	150	11/08/1996	2,4'-BRIDGED BIS-2,4-DIAMINOQUINAZOLINES	SCHUHM JOACHIM
08738125	6174897	150	10/25/1996	BIS-(QUINOLYL)-DIAMINES	SCHUHM JOACHIM
08738124	5756517	150	10/25/1996	USE OF BISQUINOLINE COMPOUNDS IN THE TREATMENT OF CEREBRAL DISORDERS	SCHUHM JOACHIM
08738123	5866562	150	10/25/1996	NOVEL RING-BRIDGED BIS-QUINOLINES	SCHUHM JOACHIM
08729128	5874438	250	10/11/1996	NOVEL 2,2'-BRIDGED BIS-2,4-DIAMINOQUINAZOLINES	SCHUHM JOACHIM
08728927	5760230	150	10/11/1996	NOVEL 4,4'-BRIDGED BIS-2,4-DIAMINOQUINAZOLINES	SCHUHM JOACHIM
08663398	5942529	150	06/13/1996	BENZISOTHIAZOLYL-SUBSTITUTED AMINOMETHYLCHROMANS	SCHUHM JOACHIM

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Inventor Name Search Result

Your Search was:

Last Name = THIELEMANN
First Name = WOLFGANG

Application#	Patent#	Status	Date Filed	Title	Inventor
09980242	Not Issued	071	11/29/2001	SUBSTITUTED PHENYLCYCLOHEXANE CARBOXYLIC ACID AMIDES AND THEIR USE AS ADENOSINE UPTAKE INHIBITORS	THIELEM WOLFGA
09943325	Not Issued	092	08/30/2001	SUBSTITUTED PHENYLCYCLOHEXANECARBOXAMIDES AND THEIR USE	THIELEM WOLFGA

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Inventor Name Search Result

Your Search was:

Last Name = STEINHAGEN
First Name = HENNING

Application#	Patent#	Status	Date Filed	Title	Inventor
09980242	Not Issued	071	11/29/2001	SUBSTITUTED PHENYLCYCLOHEXANE CARBOXYLIC ACID AMIDES AND THEIR USE AS ADENOSINE UPTAKE INHIBITORS	STEINHA HENNIN(
09943325	Not Issued	092	08/30/2001	SUBSTITUTED PHENYLCYCLOHEXANECARBOXAMIDES AND THEIR USE	STEINHA HENNIN(
09906296	Not Issued	092	07/16/2001	SUBSTITUTED AMIDOALKYL-URACILS AND THEIR USE	STEINHA HENNIN(

Inventor Search Completed: No Records to Display.

Search Another: Inventor **Last Name** STEINHAGEN **First Name** HENNING Search

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NEWS 3	Jun 03	New e-mail delivery for search results now available
NEWS 4	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 5	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS 6	Aug 26	Sequence searching in REGISTRY enhanced
NEWS 7	Sep 03	JAPIO has been reloaded and enhanced
NEWS 8	Sep 16	Experimental properties added to the REGISTRY file
NEWS 9	Sep 16	CA Section Thesaurus available in CAPLUS and CA
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NEWS 12	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
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NEWS 14	Nov 25	More calculated properties added to REGISTRY
NEWS 15	Dec 04	CSA files on STN
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NEWS 17	Dec 17	TOXCENTER enhanced with additional content
NEWS 18	Dec 17	Adis Clinical Trials Insight now available on STN
NEWS 19	Jan 29	Simultaneous left and right truncation added to COMPENDEX, ENERGY, INSPEC
NEWS 20	Feb 13	CANCERLIT is no longer being updated
NEWS 21	Feb 24	METADEX enhancements
NEWS 22	Feb 24	PCTGEN now available on STN
NEWS 23	Feb 24	TEMA now available on STN
NEWS 24	Feb 26	NTIS now allows simultaneous left and right truncation
NEWS 25	Feb 26	PCTFULL now contains images
NEWS 26	Mar 04	SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 27	Mar 20	EVENTLINE will be removed from STN
NEWS 28	Mar 24	PATDPAFULL now available on STN
NEWS 29	Mar 24	Additional information for trade-named substances without structures available in REGISTRY
NEWS 30	Apr 11	Display formats in DGENE enhanced
NEWS 31	Apr 14	MEDLINE Reload
NEWS 32	Apr 17	Polymer searching in REGISTRY enhanced
NEWS 33	Jun 13	Indexing from 1947 to 1956 added to records in CA/CAPLUS
NEWS 34	Apr 21	New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX
NEWS 35	Apr 28	RDISCLOSURE now available on STN
NEWS 36	May 05	Pharmacokinetic information and systematic chemical names added to PHAR
NEWS 37	May 15	MEDLINE file segment of TOXCENTER reloaded
NEWS 38	May 15	Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 39	May 16	CHEMREACT will be removed from STN
NEWS 40	May 19	Simultaneous left and right truncation added to WSCA
NEWS 41	May 19	RAPRA enhanced with new search field, simultaneous left and right truncation
NEWS 42	Jun 06	Simultaneous left and right truncation added to CBNB
NEWS 43	Jun 06	PASCAL enhanced with additional data

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 NEWS EXPRESS  April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
               MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
               AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
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FILE 'HOME' ENTERED AT 15:12:57 ON 13 JUN 2003

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
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TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

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http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 09980243.str

L1      STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1              STR
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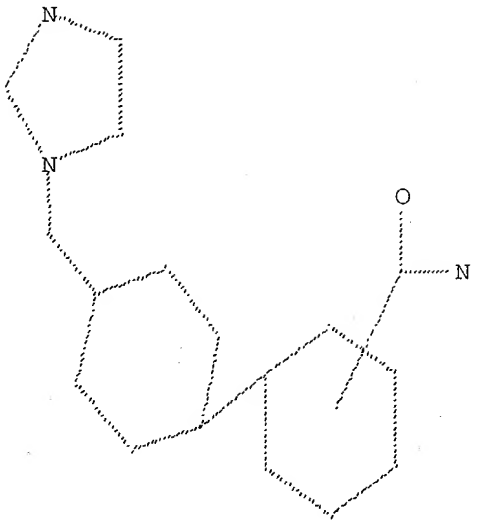

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 15:14:35 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     955 TO ITERATE

100.0% PROCESSED      955 ITERATIONS                                  4 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        17247 TO    20953
PROJECTED ANSWERS:               4 TO      200

L2             4 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 15:14:39 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  18732 TO ITERATE

100.0% PROCESSED   18732 ITERATIONS                                118 ANSWERS
SEARCH TIME: 00.00.01

L3           118 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   148.15     148.57

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FILE COVERS 1907 - 13 Jun 2003 VOL 138 ISS 25
FILE LAST UPDATED: 12 Jun 2003 (20030612/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

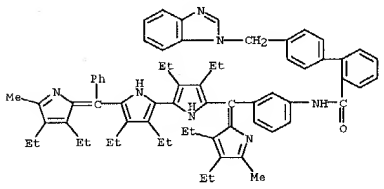
=> s l3
L4 33 L3

=> d ibib abs hitstr 1-33

L4 ANSWER 1 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:656636 CAPLUS
DOCUMENT NUMBER: 135:357789
TITLE: Synthetic aspects of 2,2'-bisdipyrrins
AUTHOR(S): Broring, Martin; Griebel, Dragan; Hell, Christian; Pfister, Andreas
CORPORATE SOURCE: Institut fur Anorganische Chemie, Universitat Wurzburg, Wurzburg, D-97074, Germany
SOURCE: Journal of Porphyrins and Phthalocyanines (2001), 5(9), 708-714
CODEN: JPPHFZ; ISSN: 1088-4246
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:357789

AB The synthesis of open-chain, tetrapyrrolic 2,2'-bisdipyrrin ligands was investigated, starting from a variety of different pyrrolic and 2,2'-bipyrrolic precursors. Four important observations were made: (1) The soly. of 2,2'-bisdipyrrins can easily be tuned through the peripheral substituent pattern, allowing the aimed prepn. of both well-sol. and hardly sol. tetrapyrroles. (2) Meso-Arylsubstituted 2,2'-bisdipyrrins are easily available from resp. p- and m-, but not o-functionalized dibenzoyl bipyrroles due to sterical effects. (3) Unsym. derivs. can be obtained by the stepwise acylation of 2,2'-bipyrroles and concomitant condensation reactions, using the new 5-benzoyl-3,3',4,4'-tetraethyl-2,2'-bipyrrole as the key intermediate. (4) Meta-Nitrophenyl groups in the periphery of 2,2'-bisdipyrrins can be reduced to aminophenyl groups and further derivatized in analogy to a reaction cascade used in porphyrin chem., yielding superstructured 2,2'-bisdipyrrins. The synthetic schemes developed open the way for a large variety of tailor-made 2,2'-bisdipyrrin ligands.

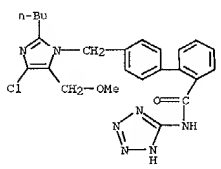
IT **373367-32-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of 2,2'-bisdipyrrins)
RN 373367-32-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-(1H-benzimidazol-1-ylmethyl)-N-[3-[(3,4-diethyl-5-methyl-2H-pyrrol-2-ylidene)[5'-[(3,4-diethyl-5-methyl-2H-pyrrol-2-ylidene)phenylmethyl]-3,3',4,4'-tetraethyl[2,2'-bi-1H-pyrrol]-5-yl]methyl]phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:619582 CAPLUS
DOCUMENT NUMBER: 135:338737
TITLE: Comparative QSAR: Angiotensin II Antagonists
AUTHOR(S): Kurup, Alka; Garg, Rajni; Carini, D. J.; Hansch, Corwin
CORPORATE SOURCE: Department of Chemistry, Pomona College, Claremont, CA, 91711, USA
SOURCE: Chemical Reviews (Washington, D. C.) (2001), 101(9), 2727-2750
CODEN: CHREAY; ISSN: 0009-2665
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A QSAR study was carried out on nonpeptide angiotensin II antagonists which included a review of the literature on bioactivity and derivation of QSAR equations. The QSAR were divided into 4 groups according to the test system: rabbit, rat, guinea pig and human. Within each group, these are arranged according to potency (log 1/C). Also listed is the CMR (calcd. molar refractivity) which is similar to molar vol. but contains a small element for polarizability, and Clog P values which give an assessment of the hydrophobic effects. The authors also used .pi. as a measure of local hydrophobic binding sites. All the QSAR reported in the study were derived by the authors. The physicochem. parameters were autoloaded from their C-QSAR databases and the QSAR regression anal. was executed with a C-QSAR program. The authors derived 39 QSAR equations which provide an overview of the structure-activity relationship for a variety of compds. To the authors knowledge, these are the first QSAR for angiotensin antagonists. The most important conclusion reached is the lack of importance of hydrophobic interactions with the receptors. The relevance of the biphenyl moiety for hydrophobicity is discussed and a model of the pharmacophore is presented.

IT **114799-33-6**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(comparative QSAR of nonpeptide angiotensin II antagonists)
RN 114799-33-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(methoxymethyl)-1H-imidazol-1-yl]methyl]-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 73 THERE ARE 73 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 1 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:841902 CAPLUS
DOCUMENT NUMBER: 133:362969
TITLE: Synthesis of heterocyclic derivs. of N-(phenylcyclohexylcarbonyl)phenylglycine amide for treatment of cardiovascular ischemia
INVENTOR(S): Bischoff, Erwin; Lensky, Stephan; Muller, Stephan Nicholas; Paulsen, Holger; Keldenich, Jorg; Krahn, Thomas; Schuhmacher, Joachim
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 30 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19924819	A1	20001130	DE 1999-19924819	19990529
WO 2000073274	A2	20001207	WO 2000-EP4431	20000516
WO 2000073274	A3	20010419		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000011049	A	20020319	BR 2000-11049	20000516
EP 1187812	A2	20020320	EP 2000-925290	20000516
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003500474	T2	20030107	JP 2000-621340	20000516
PRIORITY APPLN. INFO.:			DE 1999-19924819 A	19990529
			WO 2000-EP4431 W	20000516
OTHER SOURCE(S):		MARPAT 133:362969		

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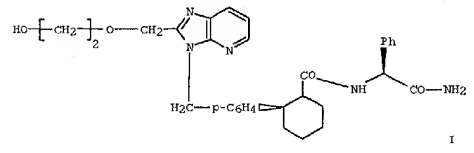


I

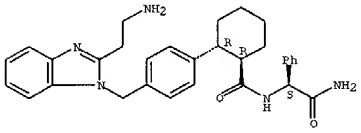
AB Title compds., e.g. (I), were prepd. for use in treating cardiovascular ischemic disorders in humans or animals. Thus, 2-(2-hydroxyethoxymethyl)pyrido[2,3-d]imidazole (prepn. given) was reacted with

L4 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
(1R,2R)-2-(4-methylphenyl)cyclohexanecarboxylic acid (resoln. from racemate given) to yield the intermediate material which was reacted with (S)-phenylglycinamide hydrochloride to give I. In in vitro tests of rabbit erythrocyte adenosine uptake, the 2-(morpholin-4-yl)methyl [in place of the 2-(2-hydroxyethoxymethyl) sidechain] compd. had IC50 of 15 nM; the 2-(piperazinyl)benzimidazolyl variant had IC50 of 25 nM.

IT **307931-42-6P**
RL: PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of heterocyclic derivs. of N-(phenylcyclohexylcarbonyl)phenylglycine amide for treatment of cardiovascular ischemia)

RN 307931-42-6 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(2-aminoethyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, dihydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



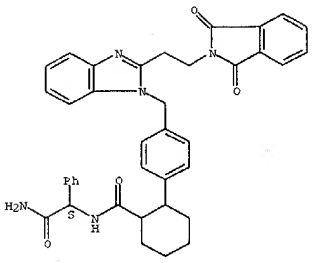
●2 HCl

IT **307931-40-4P 307931-41-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of heterocyclic derivs. of N-(phenylcyclohexylcarbonyl)phenylglycine amide for treatment of cardiovascular ischemia)

RN 307931-40-4 CAPLUS
CN Benzeneacetamide, .alpha.-[[[2-[4-[[2-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

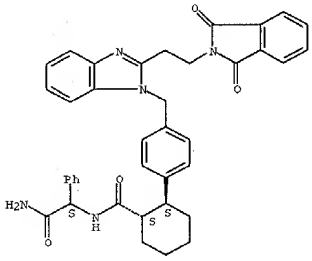
Absolute stereochemistry.

L4 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 307931-41-5 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1S,2S)-2-[4-[[2-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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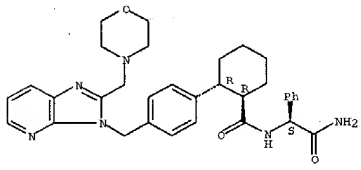
307931-55-1P 307931-56-2P 307931-57-3P
307931-58-4P 307931-59-5P 307931-60-8P
307931-61-9P 307931-62-0P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological

L4 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
study); PREP (Preparation); USES (Uses)
(synthesis of heterocyclic derivs. of N-(phenylcyclohexylcarbonyl)phenylglycine amide for treatment of cardiovascular ischemia)

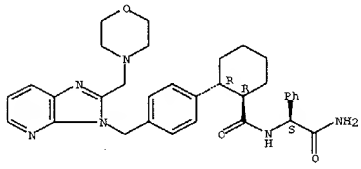
RN 307931-46-0 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(4-morpholinylmethyl)-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 307931-47-1 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(4-morpholinylmethyl)-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

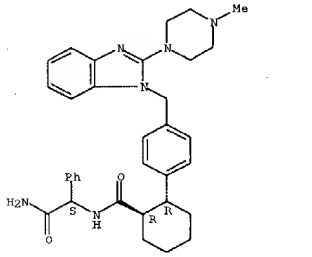


● HCl

RN 307931-51-7 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(4-methyl-1-piperazinyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

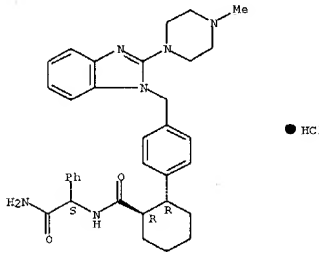
Absolute stereochemistry.

L4 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 307931-52-8 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(4-methyl-1-piperazinyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

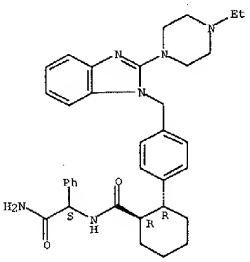


● HCl

RN 307931-53-9 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(4-ethyl-1-piperazinyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

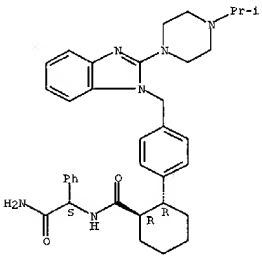
Absolute stereochemistry.

L4 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 307931-54-0 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-[4-(1-methylethyl)-1-piperazinyl]-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

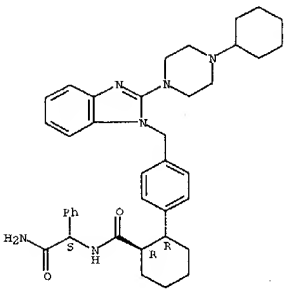
Absolute stereochemistry.



RN 307931-55-1 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(4-cyclohexyl-1-piperazinyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

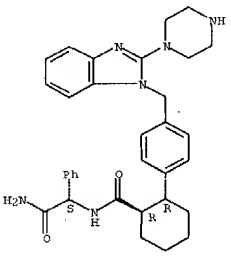
Absolute stereochemistry.

L4 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 307931-56-2 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(1-piperazinyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

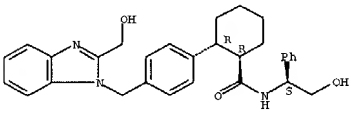
Absolute stereochemistry.



RN 307931-57-3 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[[2-(hydroxymethyl)-1H-benzimidazol-1-yl]methyl]phenyl]-N-[(1S)-2-hydroxy-1-phenylethyl]-, (1R,2R)- (9CI) (CA

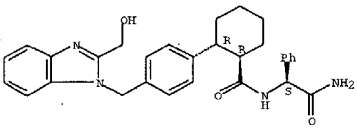
L4 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
INDEX NAME)

Absolute stereochemistry.



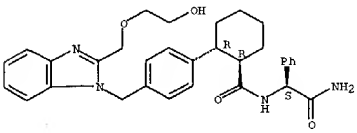
RN 307931-58-4 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(hydroxymethyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 307931-59-5 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-[(2-hydroxyethoxy)methyl]-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

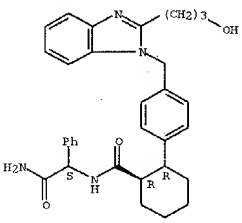
Absolute stereochemistry.



RN 307931-60-8 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(3-hydroxypropyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

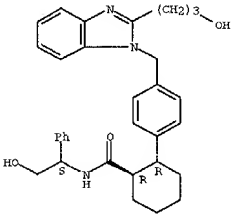
Absolute stereochemistry.

L4 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 307931-61-9 CAPLUS
CN Cyclohexanecarboxamide, N-[(1S)-2-hydroxy-1-phenylethyl]-2-[4-[[2-(3-hydroxypropyl)-1H-benzimidazol-1-yl]methyl]phenyl]-, (1R,2R)- (9CI) (CA INDEX NAME)

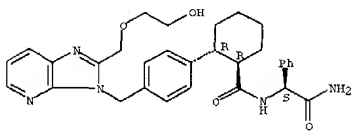
Absolute stereochemistry.



RN 307931-62-0 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-[(2-hydroxyethoxy)methyl]-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

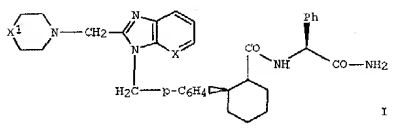


L4 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:841901 CAPLUS
DOCUMENT NUMBER: 133:362968
TITLE: Synthesis of heterocyclic derivs. of N-(phenylcyclohexylcarbonyl)phenylglycine amide for treatment of cerebral ischemia or injury
INVENTOR(S): Freund, Wolf-Dietrich; Lensky, Stephan; Muller, Stephan Nicholas; Paulsen, Holger; Keldenich, Jorg; Horvath, Ervin; Schuhmacher, Joachim
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 30 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19924818	A1	20001130	DE 1999-19924818	19990529
WO 2000073275	A1	20001207	WO 2000-EP4417	20000516
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000011061	A	20020305	BR 2000-11061	20000516
EP 1185516	A1	20020313	EP 2000-925288	20000516
EP 1185516	B1	20030502		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003500475	T2	20030107	JP 2000-621341	20000516
EE 200100634	A	20030217	EE 2001-634	20000516
AT 238997	E	20030515	AT 2000-925288	20000516
BG 106107	A	20020531	BG 2001-106107	20011113
NO 2001005810	A	20020125	NO 2001-5810	20011128

PRIORITY APPLN. INFO.: DE 1999-19924818 A 19990529
WO 2000-EP4417 W 20000516
OTHER SOURCE(S): MARPAT 133:362968
GI



I

L4 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

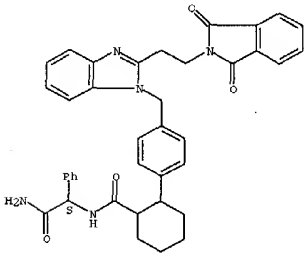
AB Title compds., e.g. I, were prepd. for use in treating ischemic brain diseases in humans or animals. Thus I [X = N, X1 = O (II)] was prepd. in six steps, starting from 2,3-diaminopyridine, glycolic acid, (1R,2R)-2-(4-bromomethylphenyl)cyclohexane-1-carboxylic acid tert-Bu ester (*prepn. given*), and (*S*)-*phenylglycinamide hydrochloride*. Similarly prepd. was I [X = C, X1 = N(Me) (III)]. In in vivo (binding of calf cortex adenosine transport protein) compds. II and III had Ki = 2 nM. In in vitro tests of rat brain reperfusion injury, II and III were effective at 0.001 mg/kg, reducing infarct vol. 81-91% of control.

IT **307931-40-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of heterocyclic derivs. of N-(phenylcyclohexylcarbonyl)phenylglycine amide for treatment of cerebral ischemia or injury)

RN 307931-40-4 CAPLUS

CN Benzeneacetamide, .alpha.-[[[2-[4-[[2-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



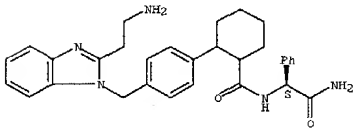
IT **307971-72-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of heterocyclic derivs. of N-(phenylcyclohexylcarbonyl)phenylglycine amide for treatment of cerebral ischemia or injury)

RN 307971-72-8 CAPLUS

CN Benzeneacetamide, .alpha.-[[[2-[4-[[2-(2-aminoethyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

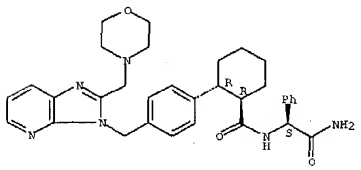


IT **307931-46-0P 307931-47-1P 307931-56-2P**
307931-57-3P 307931-58-4P 307931-59-5P
307931-60-8P 307931-61-9P 307931-62-0P
307967-08-4P 307967-19-7P 307967-20-0P
307967-21-1P 307967-22-2P 307967-23-3P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of heterocyclic derivs. of N-(phenylcyclohexylcarbonyl)phenylglycine amide for treatment of cerebral ischemia or injury)

RN 307931-46-0 CAPLUS

CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(4-morpholinylmethyl)-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

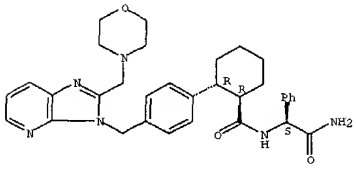


RN 307931-47-1 CAPLUS

CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(4-morpholinylmethyl)-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

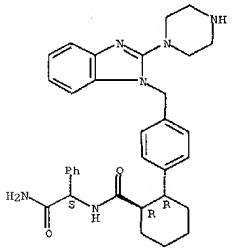
L4 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



● HCl

RN 307931-56-2 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(1-piperazinyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)-(9CI) (CA INDEX NAME)

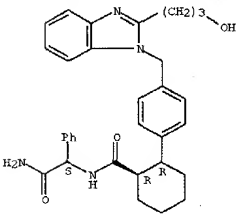
Absolute stereochemistry.



RN 307931-57-3 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[[2-(hydroxymethyl)-1H-benzimidazol-1-yl]methyl]phenyl]-N-[(1S)-2-hydroxy-1-phenylethyl]-, (1R,2R)- (9CI) (CA INDEX NAME)

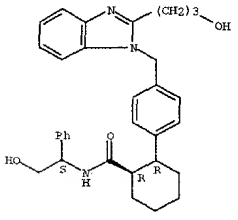
Absolute stereochemistry.

L4 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 307931-61-9 CAPLUS
CN Cyclohexanecarboxamide, N-[(1S)-2-hydroxy-1-phenylethyl]-2-[4-[[2-(3-hydroxypropyl)-1H-benzimidazol-1-yl]methyl]phenyl]-, (1R,2R)- (9CI) (CA INDEX NAME)

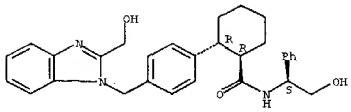
Absolute stereochemistry.



RN 307931-62-0 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-[(2-hydroxyethoxy)methyl]-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

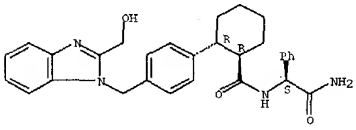
Absolute stereochemistry.

L4 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



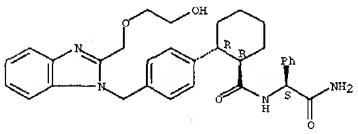
RN 307931-58-4 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(hydroxymethyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 307931-59-5 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-[(2-hydroxyethoxy)methyl]-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)-(9CI) (CA INDEX NAME)

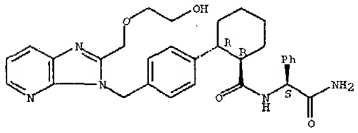
Absolute stereochemistry.



RN 307931-60-8 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(3-hydroxypropyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)-(9CI) (CA INDEX NAME)

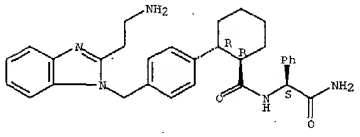
Absolute stereochemistry.

L4 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



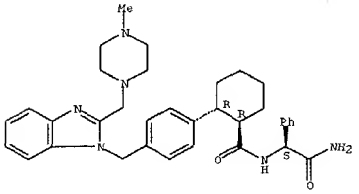
RN 307967-08-4 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-(2-aminoethyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



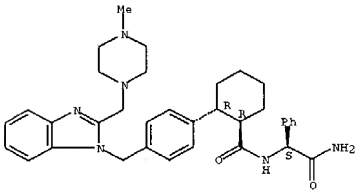
RN 307967-19-7 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 307967-20-0 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

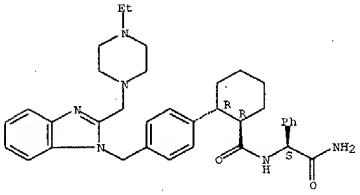
L4 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
Absolute stereochemistry.



● HCl

RN 307967-21-1 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-[(4-ethyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

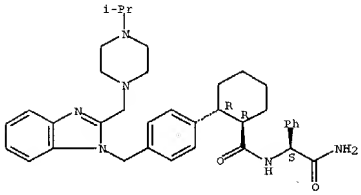
Absolute stereochemistry.



RN 307967-22-2 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-[[4-(1-methylethyl)-1-piperazinyl]methyl]-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

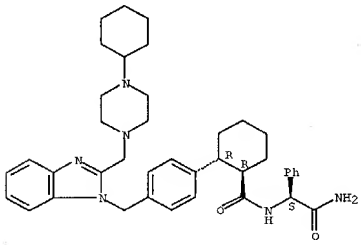
Absolute stereochemistry.

L4 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 307967-23-3 CAPLUS
CN Benzeneacetamide, .alpha.-[[[(1R,2R)-2-[4-[[2-[(4-cyclohexyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 5 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:231463 CAPLUS
DOCUMENT NUMBER: 126:330619
TITLE: Preparation of 4'-(imidazolomethyl)biphenyl-2-carboxylates as angiotensin II receptor antagonists
INVENTOR(S): Yanagisawa, Hiroaki; Fujimoto, Koichi; Amemiya, Yoshiya; Shimoji, Yasuo; Kanazaki, Takuro; Koike, Hiroyuki; Sada, Toshio
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: U.S., 129 pp., Cont.-in-part of U.S. Ser. No. 839,482, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

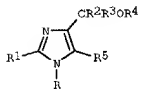
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5616599	A	19970401	US 1995-378650	19950126
CA 2229000	C	20020409	CA 1992-2229000	19920220
CN 1065063	A	19921007	CN 1992-102075	19920221
CN 1045770	B	19991020		
ZA 9201298	A	19921125	ZA 1992-1298	19920221
IL 114996	A1	19970713	IL 1992-114996	19920221
RU 2092481	C1	19971010	RU 1992-5011264	19920221
RU 2128173	C1	19990327	RU 1995-101430	19920221
ES 2156866	T3	20010801	ES 1993-200195	19920221
ES 2157895	T3	20010901	ES 1992-301449	19920221
CZ 289194	B6	20011114	CZ 1992-516	19920221
CZ 289244	B6	20011212	CZ 1993-1782	19930830
US 5646171	A	19970708	US 1995-465369	19950605
FI 9505248	A	19951102	FI 1995-5248	19951102
NO 9504507	A	19920824	NO 1995-4507	19951109
CN 1189490	A	19980805	CN 1997-123452	19971224
CN 1101384	B	20030212		

PRIORITY APPLN. INFO.:

JP 1991-27098	A	19910221
JP 1991-96588	A	19910426
JP 1991-134889	A	19910606
JP 1991-167138	A	19910708
JP 1991-173972	A	19910715
JP 1991-184841	A	19910724
US 1992-839482	B2	19920220
JP 1992-141160	A	19920602
US 1993-69595	B2	19930601
CA 1992-2061607	A3	19920220
FI 1992-749	A	19920220
CZ 1992-516	A	19920221
NO 1992-688	A	19920221
US 1995-378650	A3	19950126
IL 1995-101034	A3	19950818

OTHER SOURCE(S): MARPAT 126:330619
GI

L4 ANSWER 5 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

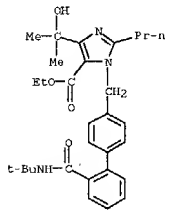


I

AB Title compds. [I; R = CH2C6H4R6; R1 = alk(en)yl; R2,R3 = H, alk(en)yl, aryl(alkyl), etc.; R4 = H, alkyl, alkanoyl, aroyl, etc.; R5 = CO2H, alkoxycarbonyl, (di)(alkyl)carbamoyl, etc.; R6 = (un)substituted C6H4CO2H or -5-tetrazolylphenyl] were prepd. Thus, BuC(OMe)3 was cyclocondensed with NCC(NH2):C(NH2)CN to give, after hydrolysis and esterification, di-Me 2-butylimidazole-4,5-dicarboxylate which was alkylated by BrCH2C6H4[C6H4(CO2CMe3)-2]-4 to give, after redn., I [R = CH2C6H4[C6H4(CO2CMe3)-2]-4, R1 = Bu, R2-R4 = H, R5 = CO2Me]. Data for biol. activity of I were given.

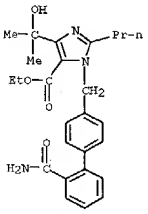
IT **144690-97-1P 144690-98-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 4'-(imidazolomethyl)biphenyl-2-carboxylates as angiotensin II receptor antagonists)

RN 144690-97-1 CAPLUS
CN 1H-Imidazole-5-carboxylic acid, 1-[[2'-[[(1,1-dimethylethyl)amino]carbonyl][1,1'-biphenyl]-4-yl]methyl]-4-(1-hydroxy-1-methylethyl)-2-propyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 144690-98-2 CAPLUS
CN 1H-Imidazole-5-carboxylic acid, 1-[[2'-(aminocarbonyl)[1,1'-biphenyl]-4-yl]methyl]-4-(1-hydroxy-1-methylethyl)-2-propyl-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 6 OF 33 CAPLUS COPYRIGHT 2003 ACS

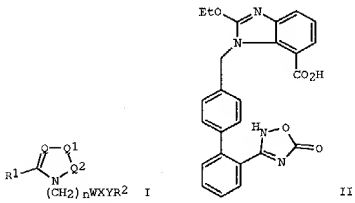
ACCESSION NUMBER: 1997:750 CAPLUS
DOCUMENT NUMBER: 126:117970
TITLE: Preparation of biphenylylmethylbenzimidazoles, -thienoimidazoles, and related compounds as as angiotensin II antagonists.
INVENTOR(S): Naka, Takehiko; Inada, Yoshiyuki
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: U.S., 72 pp., Division of U.S. 5,354,766.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5583141	A	19961210	US 1994-291435	19940816
ZA 9204666	A	19931224	ZA 1992-4666	19920624
US 5243054	A	19930907	US 1992-904452	19920625
CA 2072541	AA	19921228	CA 1992-2072541	19920626
JP 09183778	A2	19970715	JP 1996-320175	19920626
RU 2104276	C1	19980210	RU 1992-5052111	19920626
PL 173303	B1	19980227	PL 1992-295044	19920626
RU 2168510	C2	20010610	RU 1997-103420	19920626
US 5354766	A	19941011	US 1993-80259	19930623
US 5736555	A	19980407	US 1996-685012	19960722
US 5883111	A	19990316	US 1996-685907	19960722
US 6100252	A	20000808	US 1998-207044	19981208

PRIORITY APPLN. INFO.:
JP 1991-157194 A 19910627
JP 1991-188882 A 19910729
JP 1991-192054 A 19910731
JP 1991-288217 A 19911012
JP 1991-239766 A 19910919
JP 1991-341107 A 19911224
US 1992-904452 A3 19920625
US 1993-80259 A3 19930623
JP 1991-239764 A 19910919
JP 1992-169684 A3 19920626
US 1994-291435 A3 19940816
US 1996-685907 A3 19960722

OTHER SOURCE(S): MARPAT 126:117970
GI

L4 ANSWER 6 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

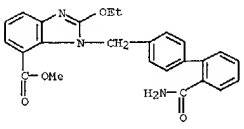


I II

AB Title compds. [I; R1 = (substituted) hydrocarbyl optionally bonded through a heteroatom; R2 = (substituted) 5-7 membered heterocyclyl contg. a carbonyl, thiocarbonyl, (oxidized) S, or group convertible into them; X = bond, spacer having an at. length of .ltoreq.2 atoms; W, Y = (substituted) (hetero)aryl; n = 1, 2; Q, Q1 = 1-2 (substituted) C or heteroatoms; Q2 = (substituted) C or heteroatom; adjacent pairs of Q-Q2 = atoms to form 5-6 membered rings], were prepd. Thus, title compd. (II) at 10-6 M inhibited angiotensin II by 79%.

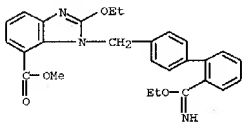
IT **147404-76-0P 147404-77-1P 147404-78-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of biphenylylmethylbenzimidazoles, -thienoimidazoles, and related compds. as as angiotensin II antagonists)

RN 147404-76-0 CAPLUS
CN 1H-Benzimidazole-7-carboxylic acid, 1-[[2'-(aminocarbonyl)[1,1'-biphenyl]-4-yl]methyl]-2-ethoxy-, methyl ester (9CI) (CA INDEX NAME)

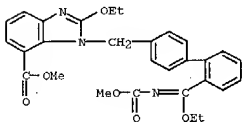


RN 147404-77-1 CAPLUS
CN 1H-Benzimidazole-7-carboxylic acid, 2-ethoxy-1-[[2'-(ethoxyiminomethyl)[1,1'-biphenyl]-4-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 147404-78-2 CAPLUS
CN 1H-Benzimidazole-7-carboxylic acid, 2-ethoxy-1-[[2'-[ethoxy[(methoxycarbonyl)imino]methyl][1,1'-biphenyl]-4-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

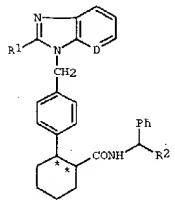


L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:567333 CAPLUS
DOCUMENT NUMBER: 125:221843
TITLE: Preparation of benzylimidazole derivatives for the treatment of vascular restenosis
INVENTOR(S): Mueller-Gliemann, Matthias; Mueller, Ulrich; Beuck, Martin; Zaiss, Siegfried; Gerdes, Christoph; Domdey-Bette, Anke; Gruetzmann, Rudi; Lohmer, Stefan; Wohlfeil, Stefan; et al.
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 725064	A1	19960807	EP 1996-100760	19960119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 19503160	A1	19960808	DE 1995-19503160	19950201
TW 448176	B	20010801	TW 1996-85100684	19960122
RO 117256	B1	20011228	RO 1996-152	19960126
CA 2168317	AA	19960802	CA 1996-2168317	19960129
JP 08253453	A2	19961001	JP 1996-33174	19960129
IL 116931	A1	20000601	IL 1996-116931	19960129
FI 9600425	A	19960802	FI 1996-425	19960130
AU 9642240	A1	19960808	AU 1996-42240	19960130
AU 710235	B2	19990916		
BG 63044	B1	20010228	BG 1996-100326	19960130
BG 103820	A	20010928	BG 1999-103820	19960130
NO 9600414	A	19960802	NO 1996-414	19960131
ZA 9600725	A	19960820	ZA 1996-725	19960131
RU 2158261	C2	20001027	RU 1996-101800	19960131
CN 1137380	A	19961211	CN 1996-102574	19960201
US 5935983	A	19990810	US 1997-960075	19971024
PRIORITY APPLN. INFO.:			DE 1995-19503160 A	19950201
			US 1996-588477 B1	19960118

OTHER SOURCE(S): MARPAT 125:221843
GI

L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



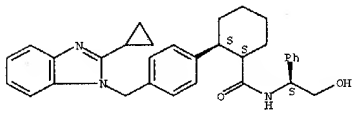
I

AB The title compds. [I; D = CH, N; R1 = Ph, cycloalkyl, (un)branched alkyl; R2 = (un)branched alkoxycarbonyl, CH2OH, CONH2], useful for the treatment of vascular restenosis, are prepd. Thus, I (D = N, R1 = CHMe2, R2 = CONH2; * * cyclohexyl ring bonding is trans) was prepd. and demonstrated a IC50 of 0.01 nM for the inhibition of rat aorta smooth muscle proliferation.

IT **181130-30-3P 181130-31-4P 181130-32-5P**
181130-33-6P 181130-34-7P 181130-35-8P
181130-36-9P 181130-37-0P 181130-38-1P
181130-39-2P 181130-40-5P 181130-41-6P
181130-42-7P 181231-28-7P 181231-29-8P
181231-30-1P 181231-31-2P 181231-32-3P
181231-33-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzylimidazole derivs. for the treatment of vascular restenosis)

RN 181130-30-3 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-1H-benzimidazol-1-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

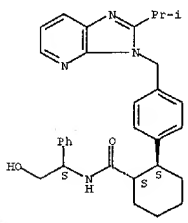
Absolute stereochemistry.



RN 181130-31-4 CAPLUS
CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[[2-(1-

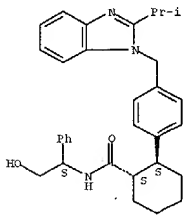
L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
methylethyl)-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 181130-32-5 CAPLUS
CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[[2-(1-methylethyl)-1H-benzimidazol-1-yl]methyl]phenyl]-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

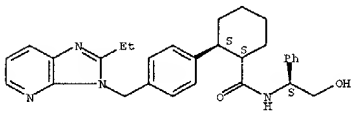
Absolute stereochemistry.



RN 181130-33-6 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-ethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

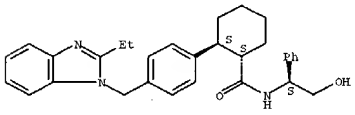
Absolute stereochemistry.

L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



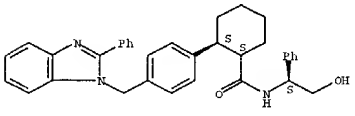
RN 181130-34-7 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-ethyl-1H-benzimidazol-1-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

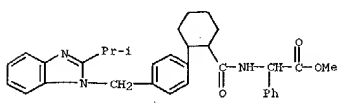


RN 181130-35-8 CAPLUS
CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[(2-phenyl-1H-benzimidazol-1-yl)methyl]phenyl]-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

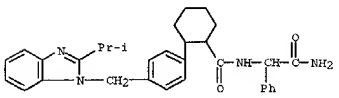
Absolute stereochemistry.



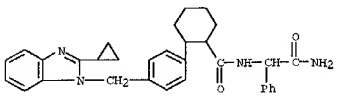
RN 181130-36-9 CAPLUS
CN Benzeneacetic acid, .alpha.-[[[2-[4-[[2-(1-methylethyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



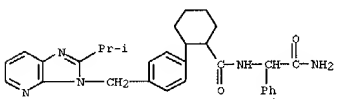
L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 181130-37-0 CAPLUS
CN Benzeneacetamide, .alpha.-[[[2-[4-[[2-(1-methylethyl)-1H-benzimidazol-1-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



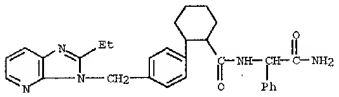
RN 181130-38-1 CAPLUS
CN Benzeneacetamide, .alpha.-[[[2-[4-[(2-cyclopropyl-1H-benzimidazol-1-yl)methyl]phenyl]cyclohexyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



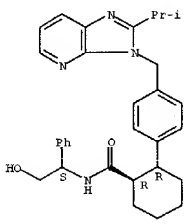
RN 181130-39-2 CAPLUS
CN Benzeneacetamide, .alpha.-[[[2-[4-[[2-(1-methylethyl)-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]cyclohexyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 181130-40-5 CAPLUS
CN Benzeneacetamide, .alpha.-[[[2-[4-[(2-ethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]cyclohexyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

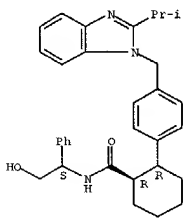


L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



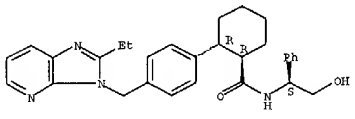
RN 181231-30-1 CAPLUS
CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[[2-(1-methylethyl)-1H-benzimidazol-1-yl]methyl]phenyl]-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



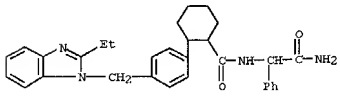
RN 181231-31-2 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-ethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

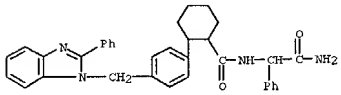


L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 181130-41-6 CAPLUS
CN Benzeneacetamide, .alpha.-[[[2-[4-[(2-ethyl-1H-benzimidazol-1-yl)methyl]phenyl]cyclohexyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

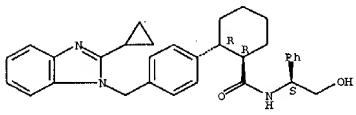


RN 181130-42-7 CAPLUS
CN Benzeneacetamide, .alpha.-[[[2-[4-[(2-phenyl-1H-benzimidazol-1-yl)methyl]phenyl]cyclohexyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 181231-28-7 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-1H-benzimidazol-1-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



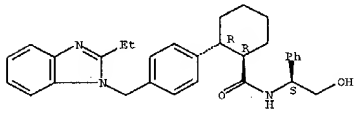
RN 181231-29-8 CAPLUS
CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[[2-(1-methylethyl)-3H-imidazo[4,5-b]pyridin-3-yl]methyl]phenyl]-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

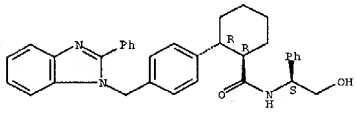
RN 181231-32-3 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-ethyl-1H-benzimidazol-1-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 181231-33-4 CAPLUS
CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[(2-phenyl-1H-benzimidazol-1-yl)methyl]phenyl]-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:820585 CAPLUS
DOCUMENT NUMBER: 123:227823
TITLE: Method for preparation of biphenylcarboxamide derivatives
INVENTOR(S): Yanagisawa, Hiroaki; Amamya, Yosha; Kanezaki, Takuo
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

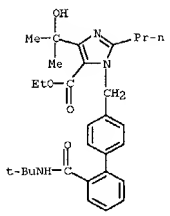
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07053489	A2	19950228	JP 1994-91698	19940428

PRIORITY APPLN. INFO.: JP 1993-140274 19930611
OTHER SOURCE(S): CASREACT 123:227823; MARPAT 123:227823
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. (I; R1 - R4 = H, halo, C1-6 alkoxy; R5 - R6 = H, C1-6 alkyl, C6-10 aryl, C7-13 aralkyl) are prepd. by coupling of 2-halobenzamides (II; X = halo; R3 - R6 = same as above) with phenylboric acids or esters (III and IV; R1 - R2 = same as above) in the presence of a Pd(0) or Pd(II) catalyst and a base in an inert solvent. This process uses readily available raw materials and reagents and gives I, which are useful as key intermediates for angiotensin converting enzyme II inhibitors, in good yields. Thus, to a soln. of 1.60 g 4-methylphenylboric acid and 2.50 g N-tert-butyl-2-bromobenzamide in toluene and MeOH, 0.3 g 5% Pd-C and 20 mL 2 M aq. NaOH were added and the resulting mixt. was refluxed with stirring for 3 h to give, after recrystn., 1.65 g N-tert-butyl-4'-methylbiphenyl-2-carboxamide. The latter compd. was converted in 6 steps into a tetrazolylbiphenyl deriv. (V), an angiotensin converting enzyme II inhibitor (no data).
IT **144690-97-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate for angiotensin converting enzyme inhibitor)
RN 144690-97-1 CAPLUS
CN 1H-Imidazole-5-carboxylic acid, 1-[[2'-[[(1,1-dimethylethyl)amino]carbonyl][1,1'-biphenyl]-4-yl]methyl]-4-(1-hydroxy-1-methylethyl)-2-propyl-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 9 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:767384 CAPLUS
DOCUMENT NUMBER: 123:169626
TITLE: preparation of heterocyclic compounds as angiotensin II antagonists
INVENTOR(S): Naka, Takehiko; Inada, Yoshiyuki
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 243 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

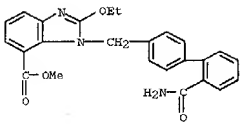
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1079966	A	19931229	CN 1993-100006	19930101
CN 1064044	B	20010404		
IL 102183	A1	19991130	IL 1992-102183	19920612

PRIORITY APPLN. INFO.:
IL 1992-102183 A 19920612
JP 1991-157194 A 19910627
JP 1991-188882 A 19910729
JP 1991-192054 A 19910731
JP 1991-288217 A 19910812
JP 1991-239764 A 19910919
JP 1991-341107 A 19911224
GI

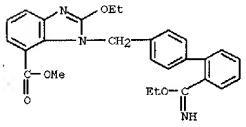
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Heterocyclic compds. [I; a, b, c = C or hetero atom; ring A and B = arom. or heterocyclic; R1 = hydrocarbyl contg. optional hetero atom; R2 = ring-forming group, CO, thioacyl, heterocyclyl, etc.; X = bond, 2-atom linking chain; n = 1, 2], useful as cardiovascular agents and antihypertensives, are prepd. and formulated. Addn. of HONH2.HCl with cyano compd. II (R3 = cyano) and MeONa/MeOH in DMSO gave 90% oxime deriv. II (R3 = H2NC:NOH), which was refluxed with ClCO2Et and Et3N in CH2Cl2 to give 23% oxadiazole compd. III (R = Me) (IV). Sapon. of IV with LiOH in MeOH gave 84% acid III (R = H), which showed 79% inhibition of binding with angiotensin II receptor at 10-6 M and .gtoreq.70% inhibition of angiotensin II-induced hypertension at 1 mg/kg p.o. in rats.
IT **147404-76-0P 147404-77-1P 147404-78-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of heterocyclic compds. as angiotensin II antagonists)
RN 147404-76-0 CAPLUS
CN 1H-Benzimidazole-7-carboxylic acid, 1-[[2'-(aminocarbonyl)[1,1'-biphenyl]-4-yl]methyl]-2-ethoxy-, methyl ester (9CI) (CA INDEX NAME)

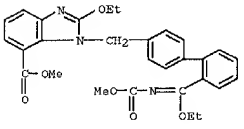
L4 ANSWER 9 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



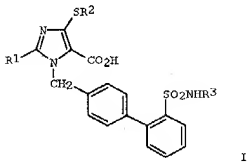
RN 147404-77-1 CAPLUS
CN 1H-Benzimidazole-7-carboxylic acid, 2-ethoxy-1-[[2'-(ethoxyiminomethyl)[1,1'-biphenyl]-4-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 147404-78-2 CAPLUS
CN 1H-Benzimidazole-7-carboxylic acid, 2-ethoxy-1-[[2'-[ethoxy[(methoxycarbonyl)imino]methyl][1,1'-biphenyl]-4-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



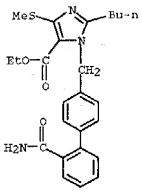
L4 ANSWER 10 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:608134 CAPLUS
DOCUMENT NUMBER: 123:55766
TITLE: Sulfonylureas and Sulfonylcarbamates as New Non-Tetrazole Angiotensin II Receptor Antagonists. Discovery of a Highly Potent Orally Active (Imidazolylbiphenylyl)sulfonylurea (HR 720)
AUTHOR(S): Deprez, Pierre; Guillaume, Jacques; Becker, Reinhard; Corbier, Alain; Didierlaurent, Stanislas; Fortin, Michel; Frechet, Daniel; Hamon, Gilles; Heckmann, Bertrand; et al.
CORPORATE SOURCE: Hoechst Roussel PGU Cardiovascular Agents, Frankfur/Main, 65926, Germany
SOURCE: Journal of Medicinal Chemistry (1995), 38(13), 2357-77
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

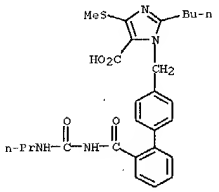
AB The synthesis and pharmacol. activity of new potent nonpeptide non-tetrazole angiotensin II (AII) receptor antagonists are described. These compds. are 4-thioimidazole derivs. linked at N1 to a biphenylsulfonyl fragment by a methylene spacer. Different acidic sulfonamides such as sulfonylureas I (R1, R2 = alkyl, R3 = PrNHCO), sulfonylcarbamates I (R1 = Bu, R2 = Me, R3 = carbalkoxy), sulfonylamides I (same R-R2, R3 = acyl), and sulfonylsulfonamides I (same R-R2, R3 = EtSO2, CF3SO2) have been investigated as replacements to the known potent tetrazole moiety at the 2'-biphenyl position. Their activities were evaluated by AII receptor binding assay as well as by in vivo (i.v. and po) assays such as inhibition of the AII-induced pressor response in pithed rats. Most of the synthesized sulfonyl derivs. showed nanomolar affinity for the AT1 receptor subtype. The N-propylsulfonylurea I (R1 = Bu, R2 = Me, R3 = PrNHCO) (12d) and the sulfonylcarbamate I (R1 = Bu, R2 = Me, R3 = CO2Me) as representative members of this series exhibited high oral activity in the pithed rat model with ID50 values of 0.35 and 0.4 mg/kg, resp. Structure-activity relationships on the imidazole ring linked to the methylbiphenyl N-propylsulfonylurea fragment demonstrated similar features to those found in the corresponding tetrazole series. For both class of compds., the linear Bu chain in position 2 and a carboxylic acid in position 5 were important for high in vitro and in vivo

L4 ANSWER 10 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 10 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
activity. In most cases, replacement of the carboxylic acid was detrimental to in vivo activity while maintaining the in vitro binding affinity. Introduction of a thiomethyl group was found to enhance oral activity compared to compds. with chloro or other alkylthio, (polyfluoroalkyl)thio, and arylthio groups. Compd. 12d as the most promising example of the series was synthesized as its dipotassium salt (HR 720). This compd. inhibited the specific binding of [125I]AII to rat liver membranes with an IC50 value of 0.48 nM. In vivo, HR 720 dose-dependently inhibited the AII-induced pressor response in normotensive pithed rats (ID50 = 0.11 mg/kg i.v. and 0.7 mg/kg po). In addn., this compd. produced a marked and long-lasting decrease in blood pressure in high renin animal models and proved to be superior to the corresponding tetrazolylbiphenyl deriv. as well as to DuP 753 or its active metabolite EXP 3174. HR 720 has been selected for in-depth investigations and is currently undergoing phase II clin. trials.

IT **164412-50-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of [[(imidazolylmethyl)biphenylyl]sulfonyl]urea deriv. and related compds. as non-tetrazole angiotensin II receptor antagonists)
RN 164412-50-4 CAPLUS
CN 1H-Imidazole-5-carboxylic acid, 2-butyl-4-(methylthio)-1-[[2'-[[[(propylamino)carbonyl]amino]carbonyl][1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



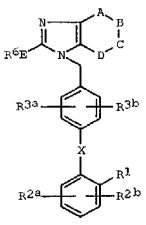
IT **164412-97-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of [[(imidazolylmethyl)biphenylyl]sulfonyl]urea deriv. and related compds. as non-tetrazole angiotensin II receptor antagonists)
RN 164412-97-9 CAPLUS
CN 1H-Imidazole-5-carboxylic acid, 1-[[2'-(aminocarbonyl)[1,1'-biphenyl]-4-yl]methyl]-2-butyl-4-(methylthio)-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:546564 CAPLUS
DOCUMENT NUMBER: 123:143911
TITLE: Preparation of substituted imidazo-fused 6-membered heterocycles as angiotensin II antagonists.
INVENTOR(S): Chakravarty, Prasun K.; Greenlee, William J.; Mantlo, Nathan B.; Patchett, Arthur A.; Walsh, Thomas F.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 51 pp. Cont.-in-part of U.S. Ser. No. 358,971, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5332744	A	19940726	US 1990-516286	19900504
IL 94390	A1	19960331	IL 1990-94390	19900514
CZ 280696	B6	19960417	CZ 1990-2568	19900525
SK 279218	B6	19980805	SK 1990-2568	19900525
AU 9056024	A1	19901206	AU 1990-56024	19900528
AU 632127	B2	19921217		
CA 2017773	AA	19901130	CA 1990-2017773	19900529
NO 9002384	A	19901203	NO 1990-2384	19900529
NO 177387	B	19950529		
NO 177387	C	19950906		
CN 1048546	A	19910116	CN 1990-103234	19900529
ZA 9004094	A	19910327	ZA 1990-4094	19900529
HU 55014	A2	19910429	HU 1990-3243	19900529
FI 95908	B	19951229	FI 1990-2661	19900529
FI 95908	C	19960410		
EP 400974	A2	19901205	EP 1990-305850	19900530
EP 400974	A3	19911023		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 03095181	A2	19910419	JP 1990-138653	19900530
JP 08013816	B4	19960214		
US 5102880	A	19920407	US 1991-755247	19910905
US 5157026	A	19921020	US 1992-840241	19920224
US 5223499	A	19930629	US 1992-881453	19920511
FI 9403730	A	19940812	FI 1994-3730	19940812
FI 97471	B	19960913		
FI 97471	C	19961227		

PRIORITY APPLN. INFO.: US 1989-358971 19890530
US 1990-516286 19900504
FI 1990-2661 19900529
OTHER SOURCE(S): MARPAT 123:143911
GI

L4 ANSWER 11 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



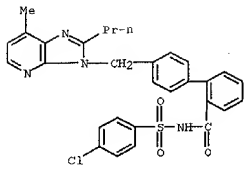
I

AB Title compds. [I; R1 = COR4, SO2NHR9, CONHOR5, heteroarylaminosulfonyl, CONHNHSO2CF3, (substituted) tetrazolyl, tetrazolylmethyl, tetrazolylaminocarbonyl, etc.; R2a, R2b = H, halo, NO2, NH2, alkylamino, dialkylamino, SO2NHR9, CF3, alkyl, alkoxy; R3a = H, halo, alkyl, alkoxy, alkoxyalkyl; R3b = H, halo, NO2, alkyl, acyloxy, cycloalkyl, alkoxy, hydroxyalkyl, arylalkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, amino, fluoroalkyl, (substituted) aryl, etc.; R4 = H, alkyl (substituted) aryl, arylmethyl; R5 = H, CHR4O2CR4a; R4a = alkyl, (substituted) aryl, arylmethyl; R6 = (substituted) alkyl, alkenyl, alkynyl, aryl, cycloalkyl, perfluoroalkyl; R9 = H, alkyl, (substituted) aryl, arylmethyl; E = bond, SOx, (CH2)s, CH(OH), O, CO, NR13(CH2)s; x = 0-2; s = 0-5; R13 = H, alkylcarbonyl, alkyl, allyl, cycloalkyl, Ph, PhCH2; X = null, CO, O, S, NR13, CONR13, OCH2, SCH2, CH:CH, CF2CF2, etc.; ABCD = 6-membered (unsatd.) (substituted) heterocyclyl], were prepd. Thus, butyric acid was heated with 2,3-diaminopicoline and polyphosphoric acid at 100.degree. for 3 h to give 95% 7-methyl-2-propylimidazo[4,5-b]pyridine. This was coupled to N-triphenylmethyl-5-(4'-bromomethylbiphen-2-yl)tetrazole using NaH (32%) and the product was deprotected by heating with HOAc to give 92% 7-methyl-2-propyl-3-[2'-(tetrazol-5-yl)biphen-4-yl]methyl-3H-imidazo[4,5-b]pyridine. Drug formulations contg. the latter are given. I inhibited angiotensin II with IC50 <50 .mu.M.

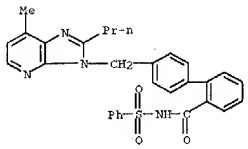
IT **133240-63-8P 133275-17-9P 162999-23-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted imidazo-fused 6-membered heterocycles as angiotensin II antagonists)

RN 133240-63-8 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[(4-chlorophenyl)sulfonyl]-4'-[(7-methyl-2-propyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (9CI) (CA INDEX NAME)

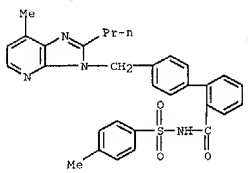
L4 ANSWER 11 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 133275-17-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[(7-methyl-2-propyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 162999-23-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[(4-methylphenyl)sulfonyl]-4'-[(7-methyl-2-propyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (9CI) (CA INDEX NAME)



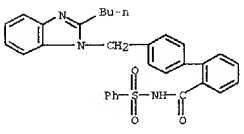
L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:230091 CAPLUS
DOCUMENT NUMBER: 122:23227
TITLE: Derivation of a 3D pharmacophore model for the angiotensin-II site one receptor
AUTHOR(S): Prendergast, Kristine; Adams, Kym; Greenlee, William J.; Nachbar, Robert B.; Patchett, Arthru A.; Underwood, Dennis J.
CORPORATE SOURCE: Mol. Systems Dep., Merck Res. Lab., Rahway, NJ, 07065, USA
SOURCE: Journal of Computer-Aided Molecular Design (1994), 8(5), 491-512
CODEN: JCADEQ; ISSN: 0920-654X
PUBLISHER: ESCOM
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A systematic search has been use to derive a hypothesis for the receptor-bound conformation of A-II antagonists at the AT1 receptor. The validity of the pharmacophore hypothesis has been tested using CoMFA, which included 50 diverse A-II antagonists, spanning four orders of magnitude in activity. The resulting cross-validated R2 or 0.64 (conventional R2 of 0.76) is indicative of a good predictive model of activity, and has been used to est. potency for a variety of non-peptidyl antagonists. The structural model for the non-peptide has been compared with respect to the natural substrate, A-II, by generating peptide to non-peptide overlays.

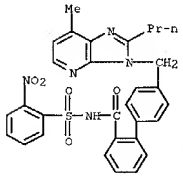
IT **133143-33-6 159859-67-3**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(derivation of a 3D pharmacophore model for the angiotensin-II site one receptor)

RN 133143-33-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[(2-butyl-1H-benzimidazol-1-yl)methyl]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 159859-67-3 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[(7-methyl-2-propyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-N-[(2-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

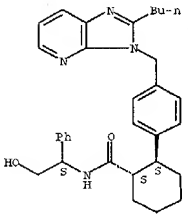


L4 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:94905 CAPLUS
DOCUMENT NUMBER: 122:56057
TITLE: [(Imidazo[4,5-b]pyridinylmethyl)phenyl]cyclohexanecarboxylates as angiotensin antagonists
INVENTOR(S): Mueller, Ulrich; Dressel, Juergen; Fey, Peter; Hanko, Rudolf; Huebsch, Walter; Kraemer, Thomas; Mueller-Gliemann, Matthias; Beuck, Martin; Kazda, Stanislav; et al.
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 29 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4304455	A1	19940818	DE 1993-4304455	19930215
AU 9454807	A1	19940818	AU 1994-54807	19940131
AU 672262	B2	19960926		
EP 611767	A1	19940824	EP 1994-101543	19940202
EP 611767	B1	20000906		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 196141	E	20000915	AT 1994-101543	19940202
ES 2151908	T3	20010116	ES 1994-101543	19940202
US 5395840	A	19950307	US 1994-193835	19940208
CA 2115536	AA	19940816	CA 1994-2115536	19940211
FI 9400659	A	19940816	FI 1994-659	19940211
IL 108625	A1	19970930	IL 1994-108625	19940211
PL 177834	B1	20000131	PL 1994-302213	19940211
NO 9400506	A	19940816	NO 1994-506	19940214
ZA 9400984	A	19940824	ZA 1994-984	19940214
JP 06293741	A2	19941021	JP 1994-37543	19940214
RU 2119480	C1	19980927	RU 1994-4975	19940214
CN 1108257	A	19950913	CN 1994-101553	19940215
CN 1057085	B	20001004		
CZ 289096	B6	20011114	CZ 1994-329	19940215

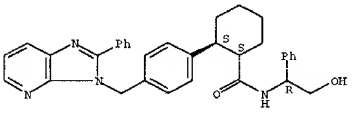
PRIORITY APPLN. INFO.: DE 1993-4304455 A 19930215
OTHER SOURCE(S): MARPAT 122:56057
GI For diagram(s), see printed CA Issue.
AB The title compds., [(imidazolylmethyl)phenyl]cyclohexanecarboxylate derivs. and [(pyrrolylmethyl)phenyl]cyclohexanecarboxylate derivs. I (A = H, aryl, etc.; B, D = substituent; BD = fused ring fragment; E = nitrogen, methine; L = H, halo, nitro, etc.; T = carboxy or amide function) were disclosed as agents for the treatment of arterial hypertonia and atherosclerosis. I are antihypertensives (angiotensin II antagonists). An example compd., the [(imidazo[4,5-b]pyridinylmethyl)phenyl]cyclohexanecarboxylate II was prepd.
IT **158098-17-0P 158098-22-7P 158098-23-8P 158098-24-9P 158098-25-0P 158098-26-1P 158098-27-2P 158098-28-3P 158098-29-4P 158098-30-7P 158189-62-9P 158189-63-0P 158189-64-1P 158189-65-2P 158189-66-3P 158189-67-4P 158189-68-5P 159992-82-2P 159992-83-3P 159992-84-4P 160227-10-1P**

L4 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

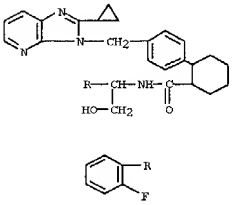


RN 158098-24-9 CAPLUS
CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[(2-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, [1S-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



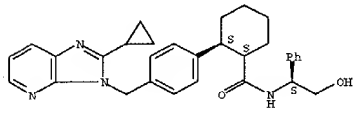
RN 158098-25-0 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-[1-(2-fluorophenyl)-2-hydroxyethyl]-, [1.alpha.(R*),2.beta.]- (9CI) (CA INDEX NAME)



RN 158098-26-1 CAPLUS

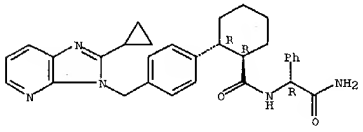
L4 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of [[(imidazopyridinyl)methyl]phenyl]cyclohexanecarboxylates as angiotensin antagonists)
RN 158098-17-0 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 158098-22-7 CAPLUS
CN Benzeneacetamide, .alpha.-[[[2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]cyclohexyl]carbonyl]amino]-, [1.alpha.(R*),2.beta.]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

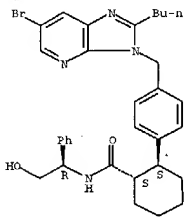


RN 158098-23-8 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-butyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

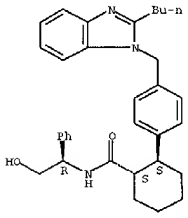
L4 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN Cyclohexanecarboxamide, 2-[4-[(6-bromo-2-butyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 158098-27-2 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-butyl-1H-benzimidazol-1-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

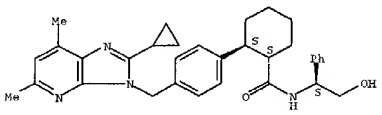
Absolute stereochemistry.



RN 158098-28-3 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

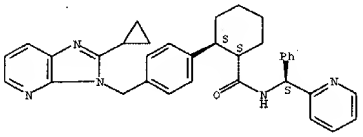
Absolute stereochemistry.

L4 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



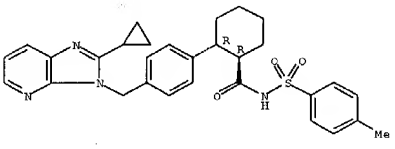
RN 158098-29-4 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(phenyl-2-pyridinylmethyl)-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 158098-30-7 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-[(4-methylphenyl)sulfonyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

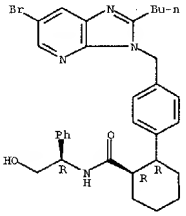


RN 158189-62-9 CAPLUS
CN Benzeneacetamide, .alpha.-[[[2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]cyclohexyl]carbonyl]amino]-, [1.alpha.(S*),2.beta.]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

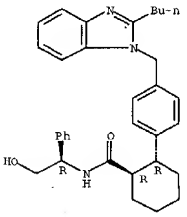
L4 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 158189-66-3 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-butyl-1H-benzimidazol-1-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

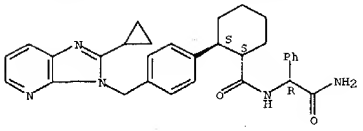
Absolute stereochemistry.



RN 158189-67-4 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

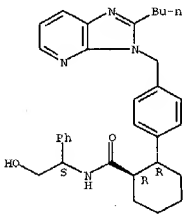
Absolute stereochemistry.

L4 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



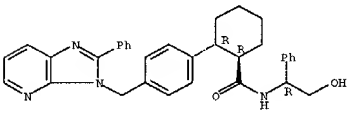
RN 158189-63-0 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-butyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



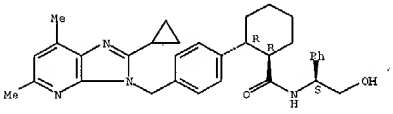
RN 158189-64-1 CAPLUS
CN Cyclohexanecarboxamide, N-(2-hydroxy-1-phenylethyl)-2-[4-[(2-phenyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-, [1R-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



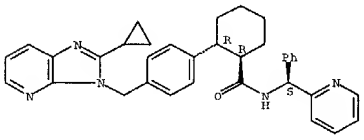
RN 158189-65-2 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(6-bromo-2-butyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-

L4 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



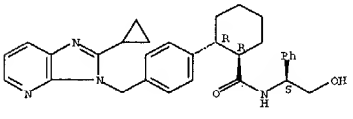
RN 158189-68-5 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(phenyl-2-pyridinylmethyl)-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159992-82-2 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

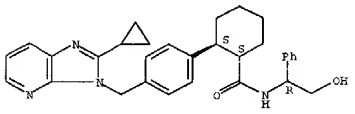
Absolute stereochemistry.



RN 159992-83-3 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

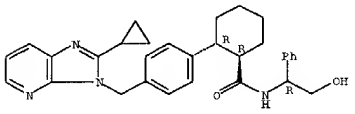
Absolute stereochemistry.

L4 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



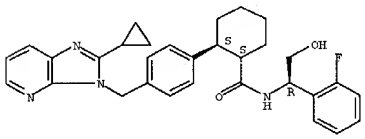
RN 159992-84-4 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160227-10-1 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-cyclopropyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]phenyl]-N-[1-(2-fluorophenyl)-2-hydroxyethyl]-, [1.alpha.(S*),2.beta.]- (9CI) (CA INDEX NAME)

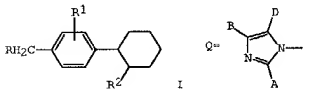
Relative stereochemistry.



L4 ANSWER 14 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:245104 CAPLUS
DOCUMENT NUMBER: 120:245104
TITLE: Preparation of [(imidazolomethyl)phenyl]cyclohexanecarboxylates as angiotensin II antagonists
INVENTOR(S): Mueller, Ulrich; Dressel, Juergen; Fey, Peter; Hanko, Rudolf; Huebsch, Walter; Kraemer, Thomas; Mueller-Gliemann, Matthias; Beuck, Martin; Kazda, Stanislav Prof Dr; et al.
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 20 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4221009	A1	19940105	DE 1992-4221009	19920626
NO 9302133	A	19931227	NO 1993-2133	19930610
EP 581003	A1	19940202	EP 1993-109465	19930614
EP 581003	B1	20000906		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 196136	E	20000915	AT 1993-109465	19930614
ES 2151891	T3	20010116	ES 1993-109465	19930614
CZ 282309	B6	19970611	CZ 1993-1173	19930616
US 5508299	A	19960416	US 1993-80853	19930621
CA 2099078	AA	19931227	CA 1993-2099078	19930623
AU 9341463	A1	19940106	AU 1993-41463	19930623
AU 666732	B2	19960222		
IL 106107	A1	19970930	IL 1993-106107	19930623
JP 06073016	A2	19940315	JP 1993-177438	19930624
ZA 9304583	A	19940202	ZA 1993-4583	19930625
HU 64753	A2	19940228	HU 1993-1870	19930625
RU 2110514	C1	19980510	RU 1993-46254	19930625
SK 281028	B6	20001107	SK 1993-668	19930625
CN 1082538	A	19940223	CN 1993-107418	19930626
CN 1037512	B	19980225		
CN 1182734	A	19980527	CN 1997-109705	19970416

PRIORITY APPLN. INFO.: DE 1992-4221009 A 19920626
OTHER SOURCE(S): MARPAT 120:245104
GI



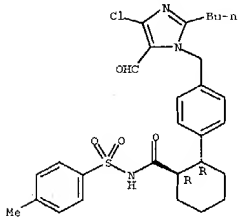
AB Title compds. [I; R = imidazolo group Q; A = (cyclo)alkyl, alkeryl; B = H, halo, perfluoroalkyl; D = CH2OR3, COR4; R1 = H, halo, OH, alkyl, etc.; R2

L4 ANSWER 14 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
= COR5, CONR6R7, (triphenylmethyl)tetrazolyl; R3,R6 = H, alkyl; R4 = H, OH, alkoxy; R5 = OH, alkoxy; R7 = SO2R9, CHPhCH2OR10; R9 = (phenyl)alkyl, Ph, etc.; R10 = H, alkyl, hydroxy-protective group) were prepd. Thus, 4-MeC6H4CH:CHCO2H was cyclocondensed with CH2:CHCH:CH2 and the product converted in 3 steps to trans-I (R1 = H, R2 = COR5)(II; R = Br, R5 = OCMe3) which was condensed with 2-butyl-4-chloro-5-formylimidazole and the product converted in 2 steps to II (R = Q, A = Bu, B = Cl, R5 = CONHSO2C6H4Me-4)(III; D = CHO). Similarly prepd. III (D = CO2H) had IC50 of 240nM against angiotensin II-induced contraction of rabbit aortal rings in vitro.

IT **154063-48-6P 154063-49-7P 154063-51-1P**
154063-52-2P 154063-54-4P 154170-40-8P
154170-41-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as angiotensin II antagonist)

RN 154063-48-6 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-butyl-4-chloro-5-formyl-1H-imidazol-1-yl)methyl]phenyl]-N-[(4-methylphenyl)sulfonyl]-, trans- (9CI) (CA INDEX NAME)

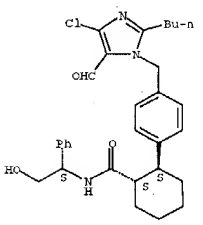
Relative stereochemistry.



RN 154063-49-7 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-butyl-4-chloro-5-formyl-1H-imidazol-1-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

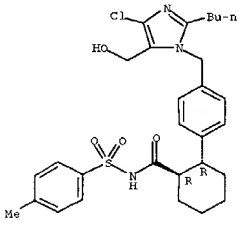
Absolute stereochemistry.

L4 ANSWER 14 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 154063-51-1 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]phenyl]-N-[(4-methylphenyl)sulfonyl]-, trans- (9CI) (CA INDEX NAME)

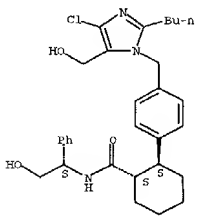
Relative stereochemistry.



RN 154063-52-2 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1S-[1.alpha.(R*),2.beta.]]- (9CI) (CA INDEX NAME)

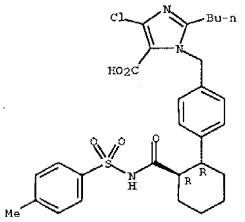
Absolute stereochemistry.

L4 ANSWER 14 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 154063-54-4 CAPLUS
CN 1H-Imidazole-5-carboxylic acid, 2-butyl-4-chloro-1-[[4-[2-[[[(4-methylphenyl)sulfonyl]amino]carbonyl]cyclohexyl]phenyl]methyl]-, trans- (9CI) (CA INDEX NAME)

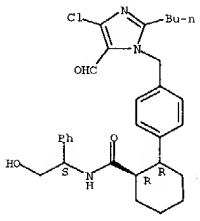
Relative stereochemistry.



RN 154170-40-8 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[(2-butyl-4-chloro-5-formyl-1H-imidazol-1-yl)methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

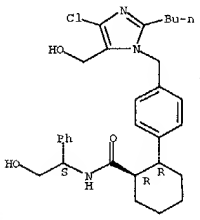
Absolute stereochemistry.

L4 ANSWER 14 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 154170-41-9 CAPLUS
CN Cyclohexanecarboxamide, 2-[4-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]phenyl]-N-(2-hydroxy-1-phenylethyl)-, [1R-[1.alpha.(S*),2.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



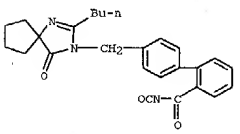
L4 ANSWER 15 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:235405 CAPLUS
DOCUMENT NUMBER: 120:235405
TITLE: Development of tetrazole bioisosteres in angiotensin II antagonists
AUTHOR(S): Ferrari, B.; Taillades, J.; Perreaut, P.; Bernhart, C.; Gougat, J.; Guiraudou, P.; Cazaubon, C.; Roccon, A.; Nisato, D.; et al.
CORPORATE SOURCE: Sanofi Rech., Monpellier, 34184, Fr.
SOURCE: Bioorganic & Medicinal Chemistry Letters (1994), 4(1), 45-50
CODEN: BMCLE8; ISSN: 0960-894X
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The application of acidic heterocycles as a substitute for tetrazole in the synthesis of potent non-peptide angiotensin II AT1 receptor antagonists is described.

IT **154389-59-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction with azidotrimethylsilane)

RN 154389-59-0 CAPLUS
CN [1,1'-Biphenyl]-2-carbonyl isocyanate, 4'-[(2-butyl-4-oxo-1,3-diazaspiro[4.4]non-1-en-3-yl)methyl]- (9CI) (CA INDEX NAME)

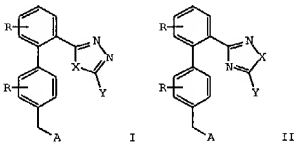


L4 ANSWER 16 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1993:603417 CAPLUS
DOCUMENT NUMBER: 119:203417
TITLE: (Biphenylyl)oxadiazoles and -thiadiazoles as angiotensin II receptor antagonists
INVENTOR(S): Connor, David T.; Kostlan, Catherine R.
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: U.S., 15 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5210204	A	19930511	US 1992-899395	19920616
US 5338737	A	19940816	US 1993-17228	19930212

PRIORITY APPLN. INFO.: US 1992-899395 19920616
OTHER SOURCE(S): MARPAT 119:203417
GI

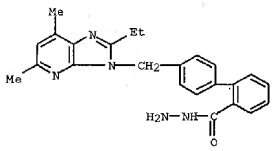


AB The title compds. I, II [A = (un)substituted arom. N-contg. heterocyclyl; R = H, lower alkyl, lower alkoxy, halogen; X = O, S; Y = OH, SH], which serve as angiotensin II receptor antagonists, and which are useful in treating hypertension (no data), hyperaldosteronism (no data), congestive heart failure (no data), and glaucoma (no data), are prepd. Thus, 5,7-dimethyl-2-ethylimidazo[4,5-b]pyridine was condensed with Me 4'-(bromomethyl)biphenyl-2-carboxylate, the intermediate condensed with hydrazine, and the acid hydrazide intermediate reacted with KOH and CS2, forming 5-[4'-[[5,7-dimethyl-2-ethyl-3H-imidazo[4,5-b]pyridin-3-yl]methyl][1,1'-biphenyl-2-yl]-1,3,4-oxadiazol-2[3H]-thione (III). III showed inhibition of tritiated angiotensin II binding to rat liver membranes at 0.006 .mu.M.

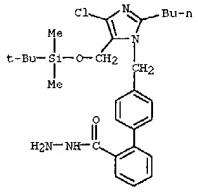
IT **150094-71-6P 150094-75-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of angiotensin II receptor antagonists)

RN 150094-71-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-, hydrazide (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



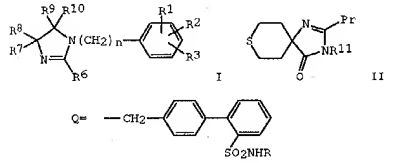
RN 150094-75-0 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[2-butyl-4-chloro-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1H-imidazol-1-yl]methyl]-, hydrazide (9CI) (CA INDEX NAME)



L4 ANSWER 17 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:472605 CAPLUS
DOCUMENT NUMBER: 119:72605
TITLE: Preparation of N-(2-acyl-4'-biphenylylmethyl)imidazolinones and analogs as angiotensin II antagonists
INVENTOR(S): Boswell, George Albert; Delucca, Indawati; Quan, Mimi Lifen
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9304046	A1	19930304	WO 1992-US7022	19920819
W: AU, CA, CS, JP, KR, PL				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
AU 9224947	A1	19930316	AU 1992-24947	19920819
EP 601039	A1	19940615	EP 1992-918632	19920819
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 06510763	T2	19941201	JP 1992-504582	19920819
GB 2281072	A1	19950222	GB 1994-19146	19940922
PRIORITY APPLN. INFO.:			US 1991-747023	19910819
			US 1992-929455	19920814
			WO 1992-US7022	19920819

OTHER SOURCE(S): MARPAT 119:72605
GI

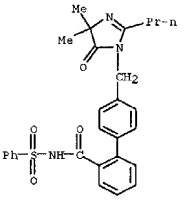


AB Title compds. [I; R1 may not be in the ortho-position and = (substituted) 2-R13C6H4; R2 = H, halo, (ar)alkyl, alkoxy, CO2H, NH2, aryl, etc.; R3 = H, halo, (alkoxy)alkyl, alkoxy; R6 = (cyclo)alkyl, alkenyl, Ph, etc.; R7-R10 = H, (cyclo)alkyl, cyano, alkoxy, etc.; R7R8 = (heteroatom-interrupted) alkylene; R9R10 = O, S; R13 = CH2CO2H, SO2NHCOR19, CONHSO2R20, etc.; R19 = H, alkyl, aryl; R20 = (cyclo)alkyl, (hetero)aryl, etc.; n = 1-4] were prepd. Thus, tetrahydrothiopyran-4-one was converted in 3 steps to

L4 ANSWER 17 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
4-aminocarbonyl-4-butyramidotetrahydrothiopyran, which was cyclized and the product condensed with R11Br (R11 = biphenylylmethyl group Q, R = CMe3) to give, in 2 addnl. steps, spiroimidazolone II (R11 = Q, R = Bz). I had IC50 of <10 .mu.M against angiotensin II binding to rat adrenal cortex prepn. in vitro.

IT **148236-48-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as angiotensin II antagonist)

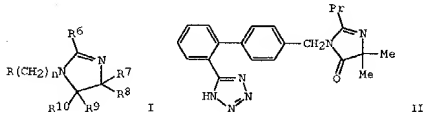
RN 148236-48-0 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[(4,5-dihydro-4,4-dimethyl-5-oxo-2-propyl-1H-imidazol-1-yl)methyl]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 18 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:449392 CAPLUS
DOCUMENT NUMBER: 119:49392
TITLE: Preparation of 1-[(2'-acylbiphenyl-4-yl)methyl]imidazol-4-ones and analogs as angiotensin II antagonists
INVENTOR(S): Boswell, George Albert; Delucca, Indawati; Quan, Mimi Lifen
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9304045	A1	19930304	WO 1992-US7021	19920819
W: AU, CA, CS, JP, KR, PL				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
AU 9224964	A1	19930316	AU 1992-24964	19920819
EP 599999	A1	19940608	EP 1992-918616	19920819
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 06510762	T2	19941201	JP 1992-504581	19920819
PRIORITY APPLN. INFO.:			US 1991-747023	19910819
			US 1992-929454	19920814
			WO 1992-US7021	19920819

OTHER SOURCE(S): MARPAT 119:49392
GI

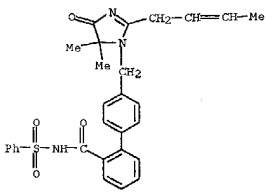


AB Title compds. [I; R = (substituted) 3- or 4-R1C6H4; R1 = (substituted) 2-R14C6H4; R5 = (cyclo)alkyl, (cyclo)alkenyl, alkynyl, etc.; R7-R10 = H, (cyclo)alkyl, cyano, CONH2, etc.; R7R8, R9R10 = O, S, (alkyl)imino, etc.; R14 = CO2H, NHCOCF3, CSO2OH, tetrazolyl, etc.; n = 1-4] were prepd. Thus, PrCOCl was condensed with H2NCMe2CN and the hydrolized product cyclized to give 2-propyl-4,4-dimethyl-1H-imidazol-5(4H)-one which was condensed with 4'-bromomethyl-2-(triphenylmethyl)tetrazol-5-yl)biphenyl to give, after deprotection, title compd, II. I had IC50 of <10 .mu.M against angiotensin II binding at rat adrenal cortex prepns. in vitro.

IT **148019-23-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as angiotensin II antagonist)

RN 148019-23-2 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-(2-butenyl)-4,5-dihydro-5,5-dimethyl-4-oxo-1H-imidazol-1-yl]methyl]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 19 OF 33 CAPLUS COPYRIGHT 2003 ACS

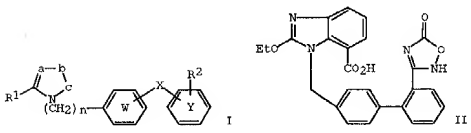
ACCESSION NUMBER: 1993:449388 CAPLUS
DOCUMENT NUMBER: 119:49388
TITLE: Preparation of heterocycyl substituted benzimidazoles as angiotensin II antagonists
INVENTOR(S): Naka, Takehiko; Inada, Yoshiyuki
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 126 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 520423	A2	19921230	EP 1992-110668	19920625
EP 520423	A3	19930616		
EP 520423	B1	20030514		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE				
NO 9202495	A	19921228	NO 1992-2495	19920624
ZA 9204666	A	19931224	ZA 1992-4666	19920624
AU 9218598	A1	19930107	AU 1992-18598	19920625
AU 646343	B2	19940217		
AT 240323	E	20030515	AT 1992-110668	19920625
CA 2072541	AA	19921228	CA 1992-2072541	19920626
CN 1067890	A	19930113	CN 1992-105152	19920626
CN 1040755	B	19981118		
JP 05271228	A2	19931019	JP 1992-169684	19920626
JP 2645962	B2	19970825		
HU 71218	A2	19951128	HU 1992-2135	19920626
HU 218792	B	20001228		
JP 09183778	A2	19970715	JP 1996-320175	19920626
RU 2104276	C1	19980210	RU 1992-5052111	19920626
PL 173303	B1	19980227	PL 1992-295044	19920626
SK 281077	B6	20001107	SK 1992-1995	19920626
RU 2168510	C2	20010610	RU 1997-103420	19920626

PRIORITY APPLN. INFO.: JP 1991-157194 A 19910627
JP 1991-188882 A 19910729
JP 1991-192054 A 19910731
JP 1991-288217 A 19910812
JP 1991-239764 A 19910919
JP 1991-341107 A 19911224
JP 1992-169684 A3 19920626

OTHER SOURCE(S): MARPAT 119:49388
GI

L4 ANSWER 19 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

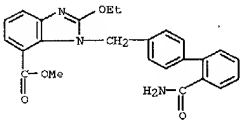


I II

AB Title compds. I [R1 = (substituted) hydrocarbyl which is optionally bonded through a hetero atom; R2 = (substituted) 5-7-membered heterocyclyl; X = bond or spacer having an at. length .ltoreq.2 between ring X and W; W, Y (substituted) heterocyclyl; n = 1, 3; a and b forming the heterocyclic residue are independently 1 or 2 optionally substituted C or hetero atoms; c is optionally substituted C or hetero atom], are prepd. Me 2-[[(2'-cyanobiphenyl-4-yl)methyl]amino]-3-nitrobenzoate in MeOH/THT, FeCl3.6H2O, and activated charcoal were refluxed for 30 min followed by addn. of H2NNH2.cntdot.H2O to give Me 3-amino-2-[[(2'-cyanobiphenyl-4-yl)methyl]amino]benzoate which in 4 steps was converted to the title compd. II. II at 1 mg/kg (p.o) in rats inhibited pressor response to angiotensin II by .gtoreq.70%. Pharmaceutical formulation comprising I are given.

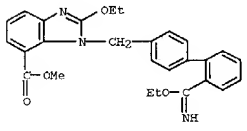
IT **147404-76-0P 147404-77-1P 147404-78-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of angiotensin II antagonists)

RN 147404-76-0 CAPLUS
CN 1H-Benzimidazole-7-carboxylic acid, 1-[[2'-(aminocarbonyl)[1,1'-biphenyl]-4-yl]methyl]-2-ethoxy-, methyl ester (9CI) (CA INDEX NAME)

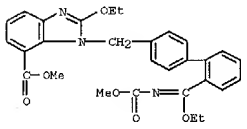


RN 147404-77-1 CAPLUS
CN 1H-Benzimidazole-7-carboxylic acid, 2-ethoxy-1-[[2'-(ethoxyiminomethyl)[1,1'-biphenyl]-4-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



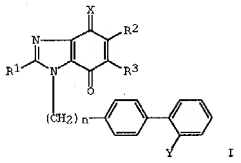
RN 147404-78-2 CAPLUS
CN 1H-Benzimidazole-7-carboxylic acid, 2-ethoxy-1-[[2'-[ethoxy[(methoxycarbonyl)imino]methyl][1,1'-biphenyl]-4-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 20 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:101956 CAPLUS
DOCUMENT NUMBER: 118:101956
TITLE: Preparation of imidazobenzoquinones for treating hypertension and congestive heart failure
INVENTOR(S): Koh, Keiko; Itoh, Norii; Ozawa, Kazunori; Kushida, Hiroshi; Mcwhorter, William W., Jr.
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9219211	A2	19921112	WO 1992-US3440	19920430
WO 9219211	A3	19930121		
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, PL, RO, RU, SD, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG				
JP 05112533	A2	19930507	JP 1991-346283	19911227
AU 9217848	A1	19921221	AU 1992-17848	19920430
AU 650342	B2	19940616		
EP 586466	A1	19940316	EP 1992-910914	19920430
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
JP 06507620	T2	19940901	JP 1992-510074	19920430
US 5506361	A	19960409	US 1993-122445	19931021

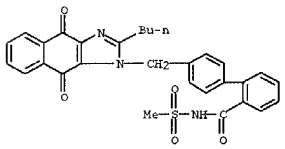
PRIORITY APPLN. INFO.: JP 1991-102639 19910508
JP 1991-140057 19910612
JP 1991-205879 19910816
JP 1991-346283 19911227
WO 1992-US3440 19920430
OTHER SOURCE(S): MARPAT 118:101956
GI



I

AB Title compds. I [R1 = H, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, CF3,

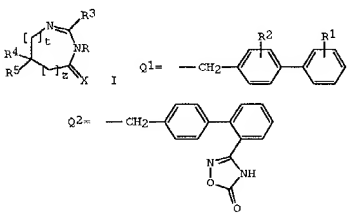
L4 ANSWER 20 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
aryl, aralkyl; X = O, NOR6, NNHR5, CR7R8; Y = 1H-tetrazol-5-yl or its alkali metal salt, CO2R4, CONR'R'', CONHSO2R5; R2, R3 = H, (substituted) C1-8 alkyl, C1-8 alkoxy, cyano, CO2R4, CONR'R'', CONHSO2R5; R2R3 = (substituted) aryl, heterocyclyl; R4 = H, alkali metal, C1-8 alkyl; R5 = C1-8 alkyl, C3-10 cycloalkyl, aryl; R6 = H, C1-8 alkyl which may be substituted by Y; R7, R8 = H, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, CF3, aryl, aralkyl; R7R8 = atoms to complete an alicyclic group; R', R'' = H, C1-8 alkyl or R'R'' = atoms to complete an alicyclic group; n = 0-2] were prepd. as angiotensin II antagonists, useful as antihypertensives and for the treatment of congestive heart failure. Thus, N-alkylation of 2-n-butyl-1H-naphthimidazole-4,9-dione by (2''-tert-butoxycarbonylbiphenyl-4-yl)methyl bromide in DMF contg. NaH, followed by deprotection with CF3CO2H, gave title compd. I [R1 = Bu; R2R3 = CH:CHCH:CH; X = O; Y = CO2H; n = 1] (II). II had IC50 of 5.4 .times. 10-7M in vitro against angiotensin II binding to receptors from rat adrenal cortex.
IT **145982-07-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for treatment of hypertension and congestive heart failure)
RN 145982-07-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[(2-butyl-4,9-dihydro-4,9-dioxo-1H-naphth[2,3-d]imidazol-1-yl)methyl]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 21 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:80941 CAPLUS
DOCUMENT NUMBER: 118:80941
TITLE: Preparation of [4'-(imidazolinonomethyl)-2-biphenylyl]oxooxadiazoles and analogs as angiotensin II antagonists
INVENTOR(S): Bernhart, Claude; Ferrari, Bernard; Perreaut, Pierre
PATENT ASSIGNEE(S): Elf Sanofi, Fr.
SOURCE: Eur. Pat. Appl., 37 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

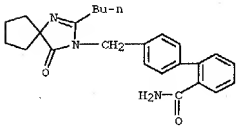
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 501892	A1	19920902	EP 1992-400523	19920228
EP 501892	B1	19960724		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE				
FR 2673427	A1	19920904	FR 1991-2501	19910301
FR 2673427	B1	19930618		
JP 05132467	A2	19930528	JP 1992-43081	19920228
US 5268375	A	19931207	US 1992-843239	19920228
AT 140698	E	19960815	AT 1992-400523	19920228
ES 2092651	T3	19961201	ES 1992-400523	19920228

PRIORITY APPLN. INFO.: FR 1991-2501 19910301
OTHER SOURCE(S): MARPAT 118:80941
GI

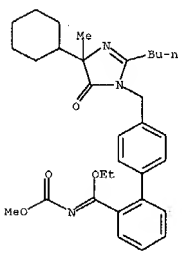


AB Title compds. [I; R = biphenylylmethyl group Q1; R1, R2 = H, CO2N:C(NH2)2, CONHNHCONH2, COCH2CO2Et, (oxo)(ox)azolyl, etc.; R3 = H, (halo)alkyl, alkenyl, Ph, etc.; R4, R5 = (cyclo)alkyl, phenyl(alkyl), etc.; R4R5 = atoms to complete a ring; t = z = 0; 1 of t, z = 0 and the other = 1; X = O, S] were prepd. Thus, Et 1-aminocyclopentanecarboxylate was cyclocondensed with BuC(:NH)OEt to give I [R3 = Bu, R4R5 = (CH2)4, X = O, t = z = 0] (II; R = H) which was condensed with 4-(BrCH2)C6H4C6H4[C(OEt):NCO2Me]-2 (prepn. given) to give, after cyclocondensation with HONH2, II (R = oxooxadiazolylbiphenylylmethyl group

L4 ANSWER 21 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
Q2). I had IC50 < 106M against angiotensin II binding at rat liver membrane prepn. in vitro.
IT **144625-34-3P 144625-38-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of angiotensin II inhibitors)
RN 144625-34-3 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[(2-butyl-4-oxo-1,3-diazaspiro[4.4]non-1-en-3-yl)methyl]- (9CI) (CA INDEX NAME)

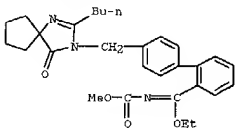


RN 144625-38-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboximidic acid, 4'-[(2-butyl-4-cyclohexyl-4,5-dihydro-4-methyl-5-oxo-1H-imidazol-1-yl)methyl]-N-(methoxycarbonyl)-, ethyl ester (9CI) (CA INDEX NAME)

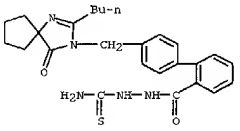


IT **144625-21-8P 144625-26-3P 144625-33-2P 144625-44-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as angiotensin II inhibitor)
RN 144625-21-8 CAPLUS
CN [1,1'-Biphenyl]-2-carboximidic acid, 4'-[(2-butyl-4-oxo-1,3-diazaspiro[4.4]non-1-en-3-yl)methyl]-N-(methoxycarbonyl)-, ethyl ester (9CI) (CA INDEX NAME)

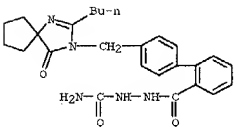
L4 ANSWER 21 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 144625-26-3 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[(2-butyl-4-oxo-1,3-diazaspiro[4.4]non-1-en-3-yl)methyl]-, 2-(aminothioxomethyl)hydrazide (9CI) (CA INDEX NAME)

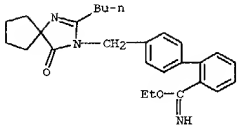


RN 144625-33-2 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[(2-butyl-4-oxo-1,3-diazaspiro[4.4]non-1-en-3-yl)methyl]-, 2-(aminocarbonyl)hydrazide (9CI) (CA INDEX NAME)



RN 144625-44-5 CAPLUS
CN [1,1'-Biphenyl]-2-carboximidic acid, 4'-[(2-butyl-4-oxo-1,3-diazaspiro[4.4]non-1-en-3-yl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 21 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 22 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1993:22240 CAPLUS
DOCUMENT NUMBER: 118:22240
TITLE: Preparation of 1-[(carboxy-biphenylyl)methyl]imidazole-5-carboxylates and analogs as angiotensin II antagonists
INVENTOR(S): Yanagisawa, Hiroaki; Shimoji, Yasuo; Fujimoto, Koichi; Kanazaki, Takuro; Anemiya, Yoshiya; Koike, Hiroyuki; Sada, Toshio
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 183 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

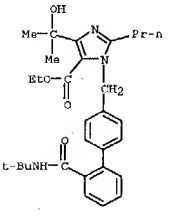
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 503785	A1	19920916	EP 1992-301449	19920221
EP 503785	B1	20010425		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
CA 2061607	AA	19920822	CA 1992-2061607	19920220
CA 2061607	C	19990119		
FI 9200749	A	19920822	FI 1992-749	19920220
CA 2229000	C	20020409	CA 1992-2229000	19920220
NO 9200688	A	19920824	NO 1992-688	19920221
AU 9211125	A1	19920827	AU 1992-11125	19920221
AU 647887	B2	19940331		
HU 60475	A2	19920928	HU 1992-578	19920221
CN 1065063	A	19921007	CN 1992-102075	19920221
CN 1045770	B	19991020		
ZA 9201298	A	19921125	ZA 1992-1298	19920221
JP 05078328	A2	19930330	JP 1992-34970	19920221
JP 07121918	B4	19951225		
EP 545912	A2	19930609	EP 1993-200195	19920221
EP 545912	A3	19930616		
EP 545912	B1	20010425		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
IL 101034	A1	19961016	IL 1992-101034	19920221
IL 114996	A1	19970713	IL 1992-114996	19920221
RU 2092481	C1	19971010	RU 1992-5011264	19920221
RU 2128173	C1	19990327	RU 1995-101430	19920221
AT 200777	E	20010515	AT 1992-301449	19920221
AT 200778	E	20010515	AT 1993-200195	19920221
ES 2156866	T3	20010801	ES 1993-200195	19920221
ES 2157895	T3	20010901	ES 1992-301449	19920221
CZ 289194	B6	20011114	CZ 1992-516	19920221
CZ 289244	B6	20011212	CZ 1993-1782	19930830
FI 9505248	A	19951102	FI 1995-5248	19951102
NO 9504507	A	19920824	NO 1995-4507	19951109
CN 1189490	A	19980805	CN 1997-123452	19971224
CN 1101384	B	20030212		
HK 1011361	A1	20020104	HK 1998-112355	19981126
HK 1011969	A1	20011228	HK 1998-113006	19981209

PRIORITY APPLN. INFO.:
JP 1991-27098 A 19910221
JP 1991-96588 A 19910426
JP 1991-134889 A 19910606
JP 1991-167138 A 19910708
JP 1991-173972 A 19910715
JP 1991-184841 A 19910724

L4 ANSWER 22 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

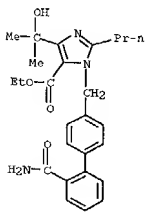
CA 1992-2061607 A3 19920220
FI 1992-749 A 19920220
CZ 1992-516 A 19920221
NO 1992-688 A 19920221
IL 1995-101034 A3 19950818

OTHER SOURCE(S): MARPAT 118:22240
GI For diagram(s), see printed CA Issue.
AB Title compds. [I; R1 = alkyl, alkenyl; R2,R3 = H, (cyclo)alkyl, alkenyl, aryl, etc.; R4 = H, alkyl, alkaroyl, arylcarbonyl, heterocyclyl, etc.; R5 = CO2H, (di)(alkyl)carbamoyl, CO2R5a, etc.; R5a = ester residue; R6 = H, alkyl, alkoxy, halo; R7 = CO2H, 5-tetrazolyl; Z = phenylenediyl] were prepd. Thus, diaminomaleonitrile was cyclocondensed with PrC(OMe)3 and to product converted in 2 steps to di-Et 2-propylimidazole-4,5-dicarboxylate which was condensed with 4-(BrH2C)C6H4C6H4R8-Z (R7 = trityltetrazol-5-yl) and the product converted in 3 steps to title compd. II which had ED50 of 0.0062 mg/kg i.v. for inhibition of the angiotensin II-induced pressor response in rats.
IT **144690-97-1P 144690-98-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of angiotensin II antagonists)
RN 144690-97-1 CAPLUS
CN 1H-Imidazole-5-carboxylic acid, 1-[[2'-[[(1,1-dimethylethyl)amino]carbonyl][1,1'-biphenyl]-4-yl]methyl]-4-(1-hydroxy-1-methylethyl)-2-propyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 144690-98-2 CAPLUS
CN 1H-Imidazole-5-carboxylic acid, 1-[[2'-(aminocarbonyl)[1,1'-biphenyl]-4-yl]methyl]-4-(1-hydroxy-1-methylethyl)-2-propyl-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 22 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

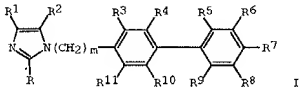


L4 ANSWER 23 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1992:427148 CAPLUS
DOCUMENT NUMBER: 117:27148
TITLE: Preparation of renal-selective angiotensin II antagonists for treatment of hypertension
INVENTOR(S): Manning, Robert E.; Reitz, David B.
PATENT ASSIGNEE(S): Searle, G. D., and Co., USA
SOURCE: PCT Int. Appl., 381 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9202257	A2	19920220	WO 1991-US5476	19910806
WO 9202257	A3	19920402		
W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MC, MG, MN, MW, NL, NO, PL, RO, SD, SE, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
AU 9185342	A1	19920302	AU 1991-85342	19910806
US 5302610	A	19940412	US 1991-810321	19911219

PRIORITY APPLN. INFO.: US 1990-566208 19900810
WO 1991-US5476 19910806
OTHER SOURCE(S): MARPAT 117:27148
GI

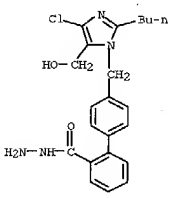


AB Title antagonists, comprising conjugates between angiotensin II antagonistic (biphenylylalkyl)imidazoles I [R-R11 = H, (hydroxy)alkyl, halo, CHO, alkoxy, (hetero)aryl, etc.; m = 1-4] and, e.g., COCH2CH2CH(NHAc)CO2H (Q) linked by a kidney-enzyme-cleavable amide bond, were prepd. Thus, 2-butyl-4-chloro-5-hydroxymethylimidazole was condensed with 2-[4-(BrCH2)C6H4]C6H4CO2Me and the product condensed with hydrazine to give I (R = Bu, R1 = Cl, R2 = CH2OH, R3 = R4 = R6-R11 = H, m = 1) (II; R5 = CONHNH2) which was condensed with HO2CCH2CH2CH(NHCO2CMe3)CO2CMe3 to give, after deprotection and N-acetylation, II (R5 = Q). The latter gave .apprx.25 mm Hg redn. of arterial pressure in spontaneously hypertensive rats receiving 10 mg/h i.v. for 3 days.

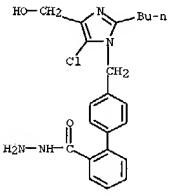
IT **141949-87-3P 141949-88-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of angiotensin II antagonists)

RN 141949-87-3 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-, hydrazide (9CI) (CA INDEX NAME)

L4 ANSWER 23 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141949-88-4 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[2-butyl-5-chloro-4-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-, hydrazide (9CI) (CA INDEX NAME)

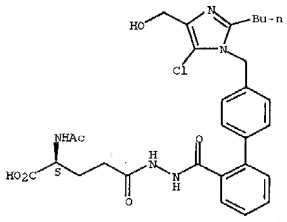


IT **141949-81-7P 141949-84-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as angiotensin II antagonist)

RN 141949-81-7 CAPLUS
CN L-Glutamic acid, N-acetyl-, 5-[2-[[4'-[[2-butyl-5-chloro-4-(hydroxymethyl)-1H-imidazol-1-yl]methyl][1,1'-biphenyl]-2-yl]carbonyl]hydrazide] (9CI) (CA INDEX NAME)

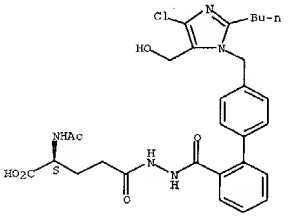
Absolute stereochemistry.

L4 ANSWER 23 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141949-84-0 CAPLUS
CN L-Glutamic acid, N-acetyl-, 5-[2-[[4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl][1,1'-biphenyl]-2-yl]carbonyl]hydrazide] (9CI) (CA INDEX NAME)

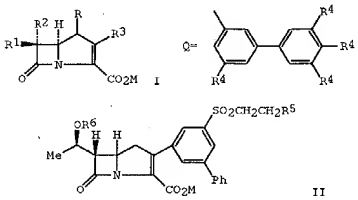
Absolute stereochemistry.



L4 ANSWER 24 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:255395 CAPLUS
DOCUMENT NUMBER: 116:255395
TITLE: Preparation of [(heteroaryliumalkyl)biphenylyl]carbapenems and analogs as antibiotics
INVENTOR(S): Dininno, Frank P.; Salzmann, Thomas N.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 165 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

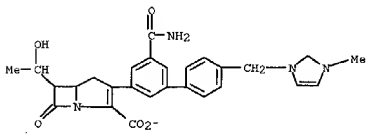
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 467434	A1	19920122	EP 1991-201565	19910620
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
US 5011832	A	19910430	US 1990-544281	19900626
US 5208329	A	19930504	US 1992-839005	19920214
PRIORITY APPLN. INFO.:			US 1990-544281	19900626
			US 1990-594886	19901009

OTHER SOURCE(S): MARPAT 116:255395
GI



AB Title compds. [I; M = H, neg. charge, pharmaceutically acceptable cation or ester residue; R = H, Me; R1,R2 = H, Me, CHMeOH, etc.; R3 = biphenylyl group Q; R4 are independantly selected from: H, Zr5; R5 = (substituted) pyridinio, imidazolio, pyridiniumyl, etc.; Z = (CH2)mZ1(CH2)n; Z1 = bond, O, SO0-2, NH, CO, CONH, etc.; m = 0-6; n = 1-6] were prepd. as antibiotics (no data). Thus, biphenylylcarbapenem II (M = allyl, R6 = CH2:CHCH2O2C, R5 = H) was condensed with N-methylimidazole and (CF3SO2)2O and the imidazolium adduct deprotected to give II (M = neg. charge, R5 = N-methylimidazolio, R6 = H).
IT **138466-49-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

L4 ANSWER 24 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as antibiotic)
RN 138466-49-6 CAPLUS
CN 1H-Imidazolium, 1-[[3'-(aminocarbonyl)-5'-[2-carboxy-6-(1-hydroxyethyl)-7-oxo-1-azabicyclo[3.2.0]hept-2-en-3-yl][1,1'-biphenyl]-4-yl]methyl]-3-methyl-, inner salt, [5R-[5.alpha.,6.alpha.(R*)]]- (9CI) (CA INDEX NAME)



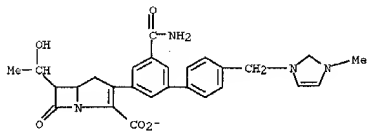
*** FRAGMENT DIAGRAM IS INCOMPLETE ***

L4 ANSWER 25 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:83444 CAPLUS
DOCUMENT NUMBER: 116:83444
TITLE: 2-biphenyl-carbapenem antibacterial agents
INVENTOR(S): Dininno, Frank P.; Salzmann, Thomas N.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 84 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5011832	A	19910430	US 1990-544281	19900626
EP 467434	A1	19920122	EP 1991-201565	19910620
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
CA 2045388	AA	19911227	CA 1991-2045388	19910625
JP 05092976	A2	19930416	JP 1991-250116	19910626
JP 07091295	B4	19951004		
PRIORITY APPLN. INFO.:			US 1990-544281	19900626
			US 1990-594886	19901009

OTHER SOURCE(S): MARPAT 116:83444
GI

L4 ANSWER 25 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



*** FRAGMENT DIAGRAM IS INCOMPLETE ***

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

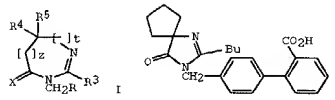
AB Title compds. [I; R = H, Me; R1,R2 = H, Me, Et, Me2CH, HOCH2, MeCH(OH), Me2C(OH), FCH2CH(OH), F2CHCH(OH), F3CCH(OH), MeCHF, MeCF2, Me2CF; R3-R6 = (substituted) N-heterocyclyl connected via a spacer; M = H, pharmaceutically acceptable esterifying group, protecting group, cation, or neg. charge balanced by a pos. charged group], were prepd. as antibacterials (no data). I are said to be narrow spectrum antibacterials particularly useful against methicillin-resistant Staphylococcus aureus, -S. epidermis, and -coagulase neg. Staphylococci. Thus, title compd. II was prepd. in several steps from azetidinone III.
IT **138466-49-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as antibacterial)
RN 138466-49-6 CAPLUS
CN 1H-Imidazolium, 1-[[3'-(aminocarbonyl)-5'-[2-carboxy-6-(1-hydroxyethyl)-7-oxo-1-azabicyclo[3.2.0]hept-2-en-3-yl][1,1'-biphenyl]-4-yl]methyl]-3-methyl-, inner salt, [5R-[5.alpha.,6.alpha.(R*)]]- (9CI) (CA INDEX NAME)

L4 ANSWER 26 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:41453 CAPLUS
DOCUMENT NUMBER: 116:41453
TITLE: Preparation of N-(carboxybiphenylylmethyl)spiro[cycloalkane-imidazolinone] derivatives and analogs as angiotensin II inhibitors
INVENTOR(S): Bernhart, Claude; Breliere, Jean Claude; Clement, Jacques; Nisato, Dino; Perreaut, Pierre
PATENT ASSIGNEE(S): Sanofi S. A., Fr.
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

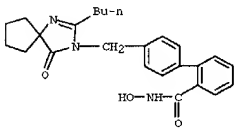
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9114679	A1	19911003	WO 1991-FR224	19910320
W: AU, CA, FI, HU, JP, KR, NO, PL, SU, US				
FR 2659967	A1	19910927	FR 1990-3563	19900320
FR 2659967	B1	19920724		
FR 2665702	A1	19920214	FR 1990-10144	19900808
FR 2665702	B1	19940225		
CA 2057913	AA	19910921	CA 1991-2057913	19910320
CA 2057913	C	19970708		
AU 9175610	A1	19911021	AU 1991-75610	19910320
AU 641005	B2	19930909		
EP 454511	A1	19911030	EP 1991-400745	19910320
EP 454511	B1	19980617		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 9102072	A	19920325	ZA 1991-2072	19910320
JP 04506222	T2	19921029	JP 1991-506471	19910320
JP 2868313	B2	19990310		
HU 61284	A2	19921228	HU 1991-3603	19910320
PL 165945	B1	19950331	PL 1991-293015	19910320
HU 67648	A2	19950428	HU 1993-2497	19910320
PL 166403	B1	19950531	PL 1991-304153	19910320
PL 166581	B1	19950630	PL 1991-304152	19910320
IL 97612	A1	19950831	IL 1991-97612	19910320
IL 110820	A1	19951127	IL 1991-110820	19910320
AT 167475	E	19980715	AT 1991-400745	19910320
ES 2119764	T3	19981016	ES 1991-400745	19910320
JP 10279566	A2	19981020	JP 1997-339895	19910320
SK 280096	B6	19990806	SK 1991-745	19910320
CZ 287064	B6	20000816	CZ 1991-745	19910320
NO 9104528	A	19920117	NO 1991-4528	19911119
RU 2099331	C1	19971220	RU 1991-5010343	19911119
US 5270317	A	19931214	US 1991-794497	19911120
LV 10439	B	19950820	LV 1993-147	19930225
LT 3376	B	19950825	LT 1993-586	19930531
US 5352788	A	19941004	US 1993-79866	19930623
US 5559233	A	19960924	US 1994-269101	19940630
CZ 287225	B6	20001011	CZ 1996-120	19960115

PRIORITY APPLN. INFO.:
FR 1990-3563 A 19900320
FR 1990-10144 A 19900808
CS 1991-745 A 19910320

L4 ANSWER 26 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
HU 1991-3603 A 19910320
IL 1991-97612 A3 19910320
JP 1991-506471 A3 19910320
WO 1991-FR224 A 19910320
FR 1991-11161 A 19910910
US 1991-794497 A3 19911120
US 1993-79866 A3 19930623
OTHER SOURCE(S): MARPAT 116:41453
GI

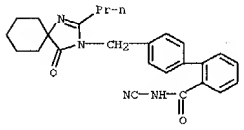

I II

AB The title compds. [I; R = substituted biphenylyl; R3 = H, (halo)alkyl; alkenyl, cycloalkyl, Ph, etc.; R4, R5 = (un)substituted (phenyl)alkyl, Ph; or R4R5 = CR7R8, heteroatom-(un)interrupted alkylene, etc.; R7 = H, alkyl, Ph; R8 = alkyl, Ph; X = O, S; t, z = 0 or 1 of t, z = 0 and the other = 1] were prepd. Thus, 1-(fluorenylmethyloxycarbonylamino)cyclopentanecarboxylic acid was amidated by H2NCH2C6H4[C6H4(CO2CMe3)-2]-4 and the N-deprotected product cyclocondensed with BuC(OEt)3 to give, after deprotection, title compd. II as the trifluoroacetate salt. I have IC50 < 10-6M against angiotensin II receptor binding.
IT **138401-40-8P 138401-43-1P 138401-44-2P**
138401-45-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as angiotensin II inhibitor)
RN 138401-40-8 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[(2-butyl-4-oxo-1,3-diazaspiro[4.4]non-1-en-3-yl)methyl]-N-hydroxy- (9CI) (CA INDEX NAME)

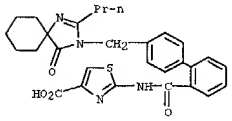


RN 138401-43-1 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-cyano-4'-[(4-oxo-2-propyl-1,3-diazaspiro[4.5]dec-1-en-3-yl)methyl]- (9CI) (CA INDEX NAME)

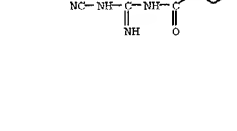
L4 ANSWER 26 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 138401-44-2 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[[[4'-[(4-oxo-2-propyl-1,3-diazaspiro[4.5]dec-1-en-3-yl)methyl][1,1'-biphenyl]-2-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 138401-45-3 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[(2-butyl-4-oxo-1,3-diazaspiro[4.4]non-1-en-3-yl)methyl]-N-[(cyanoamino)iminomethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 27 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:21042 CAPLUS
DOCUMENT NUMBER: 116:21042
TITLE: Preparation of biphenylylmethylbenzimidazoles as angiotensin II antagonists
INVENTOR(S): Narr, Berthold; Bomhard, Andreas; Hauel, Norbert; Van Meel, Jacques; Wienen, Wolfgang; Entzeroth, Michael
PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Germany
SOURCE: Eur. Pat. Appl., 172 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 392317	A2	19901017	EP 1990-106322	19900403
EP 392317	A3	19910807		
EP 392317	B1	19960103		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 3911603	A1	19901018	DE 1989-3911603	19890408
DE 3928177	A1	19910228	DE 1989-3928177	19890825
AT 132491	E	19960115	AT 1990-106322	19900403
ES 2088915	T3	19961001	ES 1990-106322	19900403
CA 2014008	AA	19901008	CA 1990-2014008	19900406
NO 9001571	A	19901009	NO 1990-1571	19900406
NO 177533	B	19950626		
NO 177533	C	19951004		
HU 53619	A2	19901128	HU 1990-2116	19900406
HU 219908	B	20010928		
JP 03063264	A2	19910319	JP 1990-91952	19900406
JP 07025739	B4	19950322		
DD 293581	A5	19910905	DD 1990-339547	19900406
IL 94049	A1	19940530	IL 1990-94049	19900408
AU 9053013	A1	19901011	AU 1990-53013	19900409
AU 629324	B2	19921001		
ZA 9002695	A	19911224	ZA 1990-2695	19900409
RU 2026861	C1	19950120	RU 1992-5011164	19920330
US 5541229	A	19960730	US 1994-227291	19940413
US 5864043	A	19990126	US 1997-933919	19970923

PRIORITY APPLN. INFO.:
DE 1989-3911603 A 19890408
DE 1989-3928177 A 19890825
US 1990-505967 B1 19900406
US 1991-750175 B1 19910826
US 1992-979400 B1 19921119
US 1994-227291 A3 19940413
US 1996-608353 B1 19960228

OTHER SOURCE(S): MARPAT 116:21042
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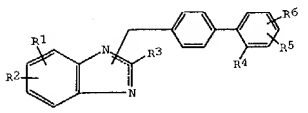
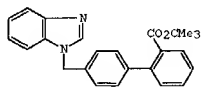
L4 ANSWER 27 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



I



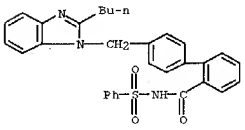
II

AB The title compds. [I; R1 = H, OH, F, Cl, Br, (substituted) alkyl, alkylcarbonylamino, alkoxy, amino, acyl, phenylalkoxy, alkylsulfonyl, etc.; R2 = R1, (substituted) 2-imidazolidinon-1-yl, 3,4,5,6-tetrahydro-2-pyrimidon-1-yl, tetrazolyl; R1R2 = atoms to complete a Ph or 1,3,3-trialkyl-2,3-dihydropyrrol-2-one group; R3 = H, F, Cl, Br, (substituted) (O-, S-, SO, SO2, imino-interrupted) alkyl, amino, alkenyl, aminocarbonyl, alkynyl, phenylalkyl, cycloalkyl, 5- or 6-membered heteroaryl, etc.; R4 = NH2, phthalimido, H2NCH2, cyano, etc.; R5 = H, F, Cl, Br; R6 = H; R5R6 = atoms to complete a Ph ring], were prepd. Thus, tert-Bu 4'-(bromomethyl)biphenyl-2-carboxylate was added to a mixt. of benzimidazole and KOCMe3 in Me2SO and the mixt. was stirred 2 h to give 90.8% title compd. II. I showed IC50 of 0.6-29.0 .mu.M.

IT **133143-33-6P 133143-44-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as angiotensin II antagonists)

RN 133143-33-6 CAPLUS

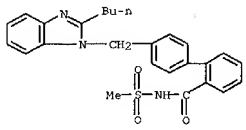
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[(2-butyl-1H-benzimidazol-1-yl)methyl]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 133143-44-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, 4'-[(2-butyl-1H-benzimidazol-1-yl)methyl]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 27 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 28 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1991:492147 CAPLUS

DOCUMENT NUMBER: 115:92147

TITLE: Nonpeptide angiotensin II receptor antagonists: the discovery of a series of N-(biphenylylmethyl)imidazoles as potent, orally active antihypertensives

AUTHOR(S): Carini, David J.; Duncia, John V.; Aldrich, Paul E.; Chiu, Andrew T.; Johnson, Alexander L.; Pierce, Michael E.; Price, William A.; Santella, Joseph B., III; Wells, Gregory J.; et al.

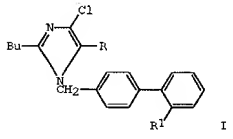
CORPORATE SOURCE: Pharm. Div., E. I. Du Pont de Nemours and Co., Inc., Wilmington, DE, 19880-0402, USA

SOURCE: Journal of Medicinal Chemistry (1991), 34(8), 2525-47
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

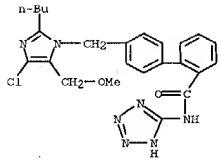
AB Nonpeptide angiotensin II receptor antagonists I (R = CH2OH, CH2OMe, CHO; R1 = tetrazolyl, (un)substituted triazolyl, CO2H, CONHR2, R2 = OH, OMe, OCH2Ph, SO2Ph, NHSO2CF3, COCF3, SO2CF3) were prepd. and produced a potent antihypertensive effect upon oral administration. The acidic group at the 2'-position of the biphenyl is essential. Only ortho-substituted acids possess both high affinity for the AII receptor and good oral antihypertensive potency. The carboxylic acid group has been replaced with a variety of acidic isosteres, and the tetrazole ring was the most effective.

IT **114799-33-6P 114799-41-6P 114799-42-7P**
114822-96-7P 124750-05-6P 124751-02-6P
126938-12-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antihypertensive activity of)

RN 114799-33-6 CAPLUS

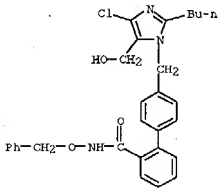
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(methoxymethyl)-1H-imidazol-1-yl]methyl]-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



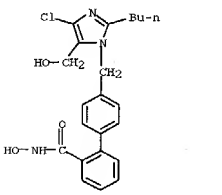
RN 114799-41-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-N-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 114799-42-7 CAPLUS

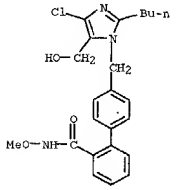
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-N-hydroxy- (9CI) (CA INDEX NAME)



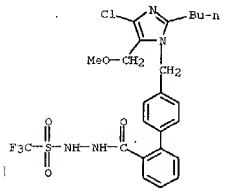
RN 114822-96-7 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-N-methoxy- (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

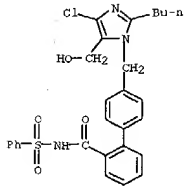


RN 124750-05-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[2-butyl-4-chloro-5-(methoxymethyl)-1H-imidazol-1-yl]methyl]-, 2-[(trifluoromethyl)sulfonyl]hydrazide (9CI) (CA INDEX NAME)

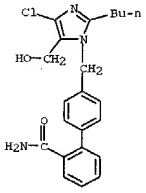


RN 124751-02-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

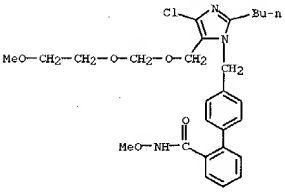


RN 126938-12-3 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

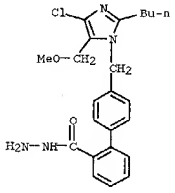


IT **114772-85-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deprotection of)
RN 114772-85-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-[[(2-methoxyethoxy)methoxy]methyl]-1H-imidazol-1-yl]methyl]-N-methoxy- (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT **114772-77-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and trifluoromethanesulfonylation of)
RN 114772-77-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[2-butyl-4-chloro-5-(methoxymethyl)-1H-imidazol-1-yl]methyl]-, hydrazide (9CI) (CA INDEX NAME)



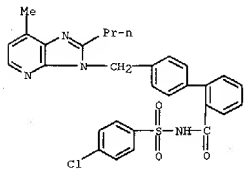
L4 ANSWER 29 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1991:429326 CAPLUS
DOCUMENT NUMBER: 115:29326
TITLE: Substituted imidazo-fused 6-membered heterocycles as angiotensin II antagonists
INVENTOR(S): Chakravarty, Prasun K.; Greenlee, William J.; Mantlo, Nathan B.; Patchett, Arthur A.; Walsh, Thomas F.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 104 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

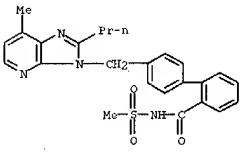
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 400974	A2	19901205	EP 1990-305850	19900530
EP 400974	A3	19911023		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5332744	A	19940726	US 1990-516286	19900504
FI 9403730	A	19940812	FI 1994-3730	19940812
FI 97471	B	19960913		
FI 97471	C	19961227		

PRIORITY APPLN. INFO.: US 1989-358971 19890530
US 1990-516286 19900504
FI 1990-2661 19900529
OTHER SOURCE(S): MARPAT 115:29326
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; A = 6-membered heterocycle such as pyridine, pyrimidine; R1 = CO2H, alkoxycarbonyl, aryloxycarbonyl, etc.; R2, R3 = H, halo, NO2, NH2, etc.; R4 = H, halo, C1-6 alkyl, alkoxy, etc.; R5 = H, halo, NO2, C1-6 alkyl, acyloxy, etc.; R6 = (substituted) aryl, C1-9 alkyl, C2-6 alkenyl, alkynyl, etc.; Z = bond, (substituted) imino, CH(OH), O, CO, etc.; X = bond, CO, O, CO, etc.] are prepd. A mixt. of valeric acid, 2,3-diaminopyridine, and polyphosphoric acid was heated to 100.degree. to give 95% imidazopyridine II, which was treated with NaH in DMF and then III to give 36% ester I (A = 2,3-pyrido, R1 = CO2CMe3, R2-R5 = H, R6 = Bu, X = Z = bond) (IV). Hydrolysis of ester IV with CF3CO2H in CH2Cl2 gave 95% acid I (R1 = CO2H, others remain unchanged). Some purine compds. were also prepd. Capsule, tablet, suppository, and injection formulations were given.
IT **133240-63-8P 133240-64-9P 133275-17-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as angiotensin II antagonist)
RN 133240-63-8 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, N-[(4-chlorophenyl)sulfonyl]-4'-[(7-methyl-2-propyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]- (9CI) (CA INDEX NAME)

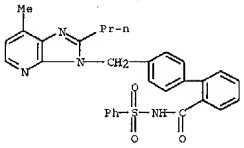
L4 ANSWER 29 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



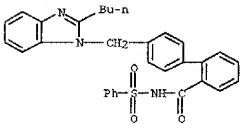
RN 133240-64-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[(7-methyl-2-propyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)



RN 133275-17-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[(7-methyl-2-propyl-3H-imidazo[4,5-b]pyridin-3-yl)methyl]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 30 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 30 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1991:228914 CAPLUS
DOCUMENT NUMBER: 114:228914
TITLE: Preparation and formulation of benzimidazoles as angiotensin II antagonists
INVENTOR(S): Chakravarty, Prasun K.; Patchett, Arthur A.; Camara, Valerie J.; Walsh, Thomas F.; Greenlee, William J.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 47 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 400835	A1	19901205	EP 1990-305179	19900514
R: CH, DE, FR, GB, IT, LI, NL				
CA 2016710	AA	19901115	CA 1990-2016710	19900514
JP 03027362	A2	19910205	JP 1990-123238	19900515
PRIORITY APPLN. INFO.:			US 1989-351508	19890515
			US 1990-504441	19900404
OTHER SOURCE(S):	MARPAT 114:228914			

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

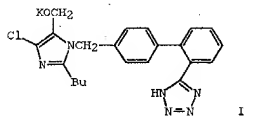
AB The title compds. I [R1 = CO2R4, SO2NHCN, NHSO2CF3, etc.; R4 = H, alkyl, aryl; aryl = (substituted) Ph, naphthyl; R2a, R2b = H, halo, NO2, NH2, etc.; R3a = H, halo, alkyl, etc.; R7a, R7b = H, alkyl, alkenyl, alkynyl, etc.; R8a, R8b = H, arylalkyl, heteroarylalkyl, etc.; R6 = aryl (as defined above), (substituted) alkyl, alkenyl, etc.; R3b = H, halo, NO2, alkyl, etc.; E = single bond, CH(OH), CO, etc.; r = 1 or 2; X = CO, O, S, etc.] were prepd. Treatment of 2-propylbenzimidazole with NaH in DMF, followed by reaction with bromomethylbiphenyl deriv. II and hydrolysis, gave benzimidazole III. Compds. I exhibited IC50 values of <50 .mu.M against angiotensin II.

IT **133143-33-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as angiotensin II antagonist)

RN 133143-33-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[(2-butyl-1H-benzimidazol-1-yl)methyl]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1990:210731 CAPLUS
DOCUMENT NUMBER: 112:210731
TITLE: Nonpeptide angiotensin II receptor antagonists. VII. Cellular and biochemical pharmacology of DuP 753, an orally active antihypertensive agent
AUTHOR(S): Chiu, Andrew T.; McCall, Dale E.; Price, William A.; Wong, Pancras C.; Carini, David J.; Duncia, John V.; Wexler, Ruth R.; Yoo, Sung E.; Johnson, Alexander L.; Timmermans, Pieter B. M. W. M.
CORPORATE SOURCE: Pharm. Res. Div., E. I. du Pont de Nemours and Co., Wilimington, DE, 19880-0400, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1990), 252(2), 711-18
CODEN: JPETAB; ISSN: 0022-3565
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



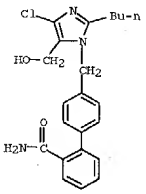
I

AB DuP 753 (I) is a potent p.o. active antihypertensive agent exerting its action by specific blockade of angiotensin II receptors. It inhibited the specific binding of labeled angiotensin II to its receptor sites in rat adrenal cortical membranes and in cultured rat smooth muscle cells with IC50 values of 19 and 20 .times. 10-9M, resp. Functional antagonism was demonstrated by its blockage of angiotensin II (3 .times. 10-8M)-induced 45Ca2+ efflux in rat aortic smooth muscle cells with an IC50 of 2 .times. 10-8M. In rabbit aorta, DuP 753 antagonized the contractile response to angiotensin II competitively with a PA2 value of 8.48 but had no effect on the responses induced by norepinephrine or KCl. In both in vitro and in vivo assays, no partial agonistic effect was detected even with concns. of up to 10-5M. In addn., this agent (10-5 or 10-4M) exhibited no direct effect on converting enzyme (rabbit lung) or renin (rat plasma). Thus, DuP 753, is a potent and highly specific angiotensin II receptor antagonist. This agent may be a useful exptl. or therapeutic tool for interference with the renin-angiotensin system in health and diseases.

IT **126938-12-3**, EXP 8821
RL: PROC (Process)
(binding of, by angiotensin II receptors of aorta smooth muscle and adrenal cortex membrane)

RN 126938-12-3 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 32 OF 33 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1990:118817 CAPLUS
DOCUMENT NUMBER: 112:118817
TITLE: Preparation of (biphenylylmethyl)imidazoles and analogs as antihypertensive agents
INVENTOR(S): Carini, David John; Wong, Pancras Chor Bun; Duncia, John Jonas Vytautas
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA
SOURCE: Eur. Pat. Appl., 271 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

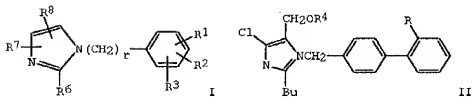
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 324377	A2	19890719	EP 1989-100144	19890105
EP 324377	A3	19910206		
EP 324377	B1	19970416		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5138069	A	19920811	US 1988-279194	19881206
CA 1338238	A1	19960409	CA 1988-586904	19881222
WO 8906233	A1	19890713	WO 1989-US52	19890105
W: JP				
JP 03501020	T2	19910307	JP 1989-501656	19890105
JP 07025738	B4	19950322		
EP 733366	A2	19960925	EP 1996-107930	19890105
EP 733366	A3	19961009		
EP 733366	B1	19980401		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 151755	E	19970515	AT 1989-100144	19890105
ES 2100150	T3	19970616	ES 1989-100144	19890105
AT 164520	E	19980415	AT 1996-107930	19890105
ES 2117463	T3	19980801	ES 1996-107930	19890105
DK 8900051	A	19890708	DK 1989-51	19890106
FI 8900070	A	19890708	FI 1989-70	19890106
FI 99012	B	19970613		
FI 99012	C	19970925		
NO 8900075	A	19890710	NO 1989-75	19890106
NO 177265	B	19950508		
NO 177265	C	19950816		
AU 8927771	A1	19890713	AU 1989-27771	19890106
AU 617736	B2	19911205		
ZA 8900127	A	19900926	ZA 1989-127	19890106
SU 1814646	A3	19930507	SU 1989-4613475	19890106
HU 64038	A2	19931129	HU 1989-50	19890106
HU 218201	B	20000628		
US 5128355	A	19920707	US 1989-435869	19891113
US 5153197	A	19921006	US 1989-436165	19891113
US 5155118	A	19921013	US 1989-436281	19891113
RU 2017733	C1	19940815	RU 1992-5010637	19920127
US 5210079	A	19930511	US 1992-832638	19920207
US 5354867	A	19941011	US 1993-47883	19930415

PRIORITY APPLN. INFO.: US 1988-142580 A 19880107
US 1988-279194 A 19881206
US 1986-884920 B2 19860711

L4 ANSWER 32 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

	US 1987-50341	B2 19870522
	EP 1989-100144	A3 19890105
	WO 1989-US52	W 19890105
	US 1989-373755	B2 19890630
	US 1990-542351	B1 19900622
	US 1990-545240	B1 19900627

OTHER SOURCE(S): MARPAT 112:118817
GI

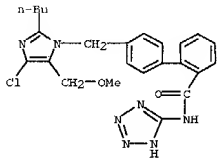


AB The title compds. [I; R1 = acyl, tetrazolyl, aminoacyl, acylamino, biphenylyl, etc.; R2 = H, halo, NO2, cyano, C1-4 alkyl, etc.; R3 = H, halo, C1-4 alkyl, alkoxy; R6 = C2-10 alkyl, C3-10 alkenyl, alkynyl, C3-8 cycloalkyl, (un)substituted Ph, PhCH2, etc.; R7 = H, halo, NO2, cyano, pentafluorophenyl, etc.; R8 = H, cyano, C1-10 (fluoro)alkyl, etc.; r = 0-2] were prepd. Thus, 2-butyl-4-chloro-5-hydroxymethylimidazole was stirred 0.5 h with NaOMe in MeOH and the product stirred overnight with 4'-bromomethyl-2-cyanobiphenyl (prepn. given) in DMF to give title compd. II (R = cyano, R4 = H) which was converted in 2 steps to II (R = cyano, R4 = Me). The latter was stirred 2 days at 100.degree. and 11 days at 120.degree. with NaN3 in DMF contg. NH4Cl to give II (R = 1H-tetrazol-5-yl, R4 = Me) the Na salt of which had IC50 of 0.020 .mu.M for inhibition of angiotensin II receptor binding and showed significant decreases in blood pressure in rats at .ltoreq.10 and .ltoreq.100 mg/kg i.v. and orally, resp.

IT **114773-81-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antihypertensive activity of)

RN 114773-81-8 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(methoxymethyl)-1H-imidazol-1-yl]methyl]-N-1H-tetrazol-5-yl-, monosodium salt (9CI) (CA INDEX NAME)

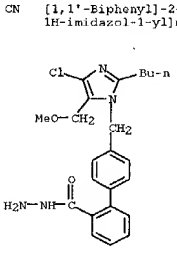
L4 ANSWER 32 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



● Na

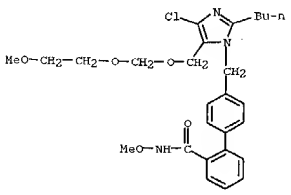
IT **114772-77-9P 114772-85-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of antihypertensive agents)

RN 114772-77-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[2-butyl-4-chloro-5-(methoxymethyl)-1H-imidazol-1-yl]methyl]-, hydrazide (9CI) (CA INDEX NAME)



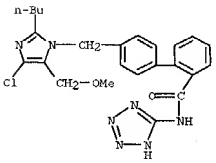
RN 114772-85-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-[[(2-methoxyethoxy)methoxy]methyl]-1H-imidazol-1-yl]methyl]-N-methoxy- (9CI) (CA INDEX NAME)

L4 ANSWER 32 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



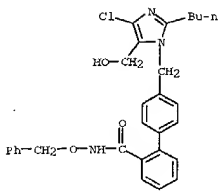
IT **114799-33-6P 114799-41-6P 114799-42-7P**
114822-96-7P 124750-05-6P 124751-02-6P
124751-03-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antihypertensive agent)

RN 114799-33-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(methoxymethyl)-1H-imidazol-1-yl]methyl]-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)

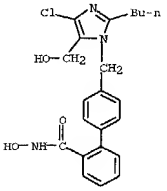


RN 114799-41-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-N-(phenylmethoxy)- (9CI) (CA INDEX NAME)

L4 ANSWER 32 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

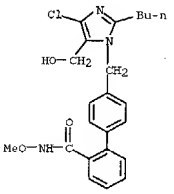


RN 114799-42-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-N-hydroxy- (9CI) (CA INDEX NAME)

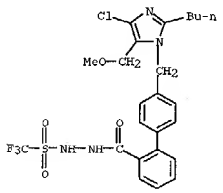


RN 114822-96-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-N-methoxy- (9CI) (CA INDEX NAME)

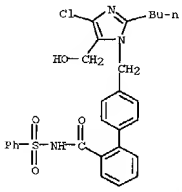
L4 ANSWER 32 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 124750-05-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[2-butyl-4-chloro-5-(methoxymethyl)-1H-imidazol-1-yl]methyl]-, 2-[(trifluoromethyl)sulfonyl]hydrazide (9CI) (CA INDEX NAME)

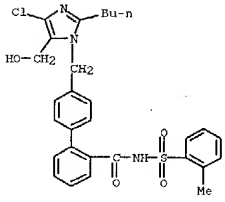


RN 124751-02-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 32 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 124751-03-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-N-[(2-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



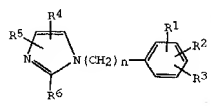
L4 ANSWER 33 OF 33 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:529008 CAPLUS
DOCUMENT NUMBER: 109:129008
TITLE: Preparation of angiotensin II receptor-blocking (phenylalkyl)imidazoles
INVENTOR(S): Carini, David John; Duncia, John Jonas Vytautas
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA
SOURCE: Eur. Pat. Appl., 314 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 253310	A2	19880120	EP 1987-109919	19870709
EP 253310	A3	19900829		
EP 253310	B1	19941026		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 1334092	A1	19950124	CA 1987-540399	19870623
NO 8702863	A	19880112	NO 1987-2863	19870709
NO 176049	B	19941017		
NO 176049	C	19950125		
ES 2063734	T3	19950116	ES 1987-109919	19870709
DK 8703596	A	19880112	DK 1987-3596	19870710
FI 8703071	A	19880112	FI 1987-3071	19870710
FI 96025	B	19960115		
FI 96025	C	19960425		
AU 8775596	A1	19880121	AU 1987-75596	19870710
AU 599396	B2	19900719		
JP 63023868	A2	19880201	JP 1987-171328	19870710
JP 05029351	B4	19930430		
HU 45976	A2	19880928	HU 1987-3174	19870710
ZA 8705052	A	19890329	ZA 1987-5052	19870710
SU 1694062	A3	19911123	SU 1987-4203085	19870710
IL 83153	A1	19911215	IL 1987-83153	19870710
HU 218461	B	20000828	HU 1975-99020	19870710
US 5128355	A	19920707	US 1989-435869	19891113
US 5153197	A	19921006	US 1989-436165	19891113
US 5155118	A	19921013	US 1989-436281	19891113

PRIORITY APPLN. INFO.: US 1986-884920 A 19860711
US 1987-50341 A 19870522
HU 1987-3174 A 19870710
US 1988-142580 B2 19880107
US 1988-279194 A3 19881206

OTHER SOURCE(S): MARPAT 109:129008
GI

L4 ANSWER 33 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



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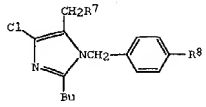
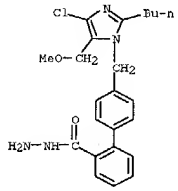


II

AB The title compd. [I; R1 = tetrazol-5-yl, 1,2,3-triazol-4-yl, (HO)2S(O)O, (HO)2P(O)O, HPO3, substituted NH2, alkyl, PhCH2, (un)substituted PhCH2CH2, PhCH:CH, (un)modified CO2H, SO3H, etc.; R2 = H, C1-4 alkyl, C1-4 alkoxy, C1-4 acyloxy, MeSO2NH, CF3SO2NH, aryl, furyl, tetrazol-5-yl, Br, Cl, F, iodo, NO2, (un)modified CO2H; R3 = H, C1-4 alkyl, C1-4 alkoxy, Br, Cl, F, iodo; R4 = H, CF3, cyano, Br, Cl, F, iodo; R5 = H, cyano, (un)substituted alkyl, alkenyl; n = 0-2] and their pharmaceutically acceptable salts were prepd. as angiotensin II receptor-blocking agents, useful as antihypertensives. 2-Butyl-5-chloro-1H-imidazole-4-methanol was treated with NaOMe in MeOH, and N-alkylated with 4-BrCH2C6H4CN to give benzylimidazolemethanol II (R7 = OH, R8 = cyano). This was chlorinated with SOCl2 and treated with NaCN to give II (R7 = R8 = cyano). The latter was refluxed 6 h in 1:1 12N HCl/HOAc to give II (R7 = R8 = CO2H) (III). III inhibited angiotensin II binding in rat adrenal cortical microsomes with an IC50 of 1.80 .mu.M and was active in reducing blood pressure in rats at 10 mg/kg i.v.

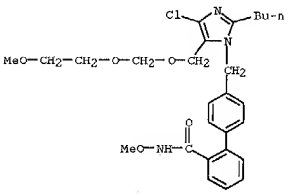
IT **114772-77-9P 114772-85-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of antihypertensives)

RN 114772-77-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4'-[[2-butyl-4-chloro-5-(methoxymethyl)-1H-imidazol-1-yl]methyl]-, hydrazide (9CI) (CA INDEX NAME)



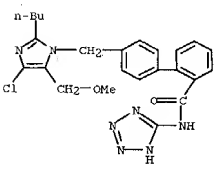
RN 114772-85-9 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-[[(2-methoxyethoxy)methoxy]methyl]-1H-imidazol-1-yl]methyl]-N-methoxy- (9CI)

L4 ANSWER 33 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)
(CA INDEX NAME)



IT **114773-81-8P 114799-33-6P 114799-41-6P 114799-42-7P 114822-96-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antihypertensive)

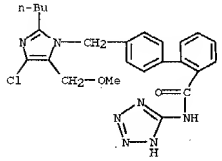
RN 114773-81-8 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(methoxymethyl)-1H-imidazol-1-yl]methyl]-N-1H-tetrazol-5-yl-, monosodium salt (9CI) (CA INDEX NAME)



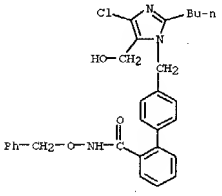
● Na

RN 114799-33-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(methoxymethyl)-1H-imidazol-1-yl]methyl]-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)

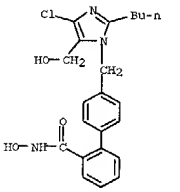
L4 ANSWER 33 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 114799-41-6 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-N-(phenylmethoxy)- (9CI) (CA INDEX NAME)

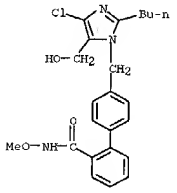


RN 114799-42-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-N-hydroxy- (9CI) (CA INDEX NAME)



RN 114822-96-7 CAPLUS
CN [1,1'-Biphenyl]-2-carboxamide, 4'-[[2-butyl-4-chloro-5-(hydroxymethyl)-1H-imidazol-1-yl]methyl]-N-methoxy- (9CI) (CA INDEX NAME)

L4 ANSWER 33 OF 33 CAPLUS COPYRIGHT 2003 ACS (Continued)



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	150.52	299.09

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-21.48	-21.48

STN INTERNATIONAL LOGOFF AT 15:16:06 ON 13 JUN 2003